---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 265.17	SESSION 273.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -14.97	SESSION -14.97

STN INTERNATIONAL LOGOFF AT 13:41:38 ON 25 NOV 2003

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1994:528898 CAPLUS
ÁΝ
     121:128898
DN
TI
     Synthesis of [11C]dapoxetine.cntdot.HCl, a serotonin re-uptake inhibitor:
     Biodistribution in rat and preliminary PET imaging in the monkey
     Livni, E.; Satterlee, Winston; Robey, Roger L.; Alt, Charles A.; Van
ΑU
     Meter, Elden E.; Babich, John W.; Wheeler, William J.; O'Bannon, Douglas
     D.; Thrall, James H.; et al.
     Harv. Med. Sch., Mass. Gen. Hosp., Boston, MA, 02114, USA
CS
SO
     Nuclear Medicine and Biology (1994), 21(4), 669-75
     CODEN: NMBIEO; ISSN: 0883-2897
DΤ
     Journal
LА
     English
CC
     8-9 (Radiation Biochemistry)
     Section cross-reference(s): 1
AΒ
     [11C] Dapoxetine.cntdot.HCl, S-(+)-N, N-dimethyl-a-[2-
     (naphthalenyloxy)ethyl]benzenemethanamine hydrochloride, a potent
     serotonin re-uptake inhibitor was prepd. from its mono-Me precursor,
     S-(+)-N-methyl-a-[2-(naphthalenyloxy)ethyl]benzene methanamine
     hydrochloride. Biodistribution was detd. in rats at 5, 30 and 60 min
     after injection and preliminary PET studies were performed in a Rhesus
             11CH3I was bubbled into a soln. of S-(+)-N-methyl-.alpha.-[2-
     (naphthalenyloxy)ethyl]benzene methanamine hydrochloride (3.0 mg in DMSO)
     and the mixt. was heated at 110.degree.C for 8 min.
     [11C] Dapoxetine.cntdot.HCl was purified by HPLC on a C18 cartridge eluted
     with MeOH:phosphate buffer, pH 7.2(75:25) with a 10% yield (end of
     synthesis). The time required for the synthesis was 40 min from the end
    of bombardment. Radiochem. purity of the final product was >99% and
     specific activity was routinely >400 mCi/.mu.mol [EOS]. In the
     biodistribution studies the highest concn. (%ID/g) of
     dapoxetine.cntdot.HCl was detected in lung: 4.56 (5 min), 1.28 (30 min)
     and 0.67 (60 min). Brain accumulation was 0.76 (5 min), 0.46 (30 min) and
     0.27 (60 min). Preliminary PET studies demonstrated significant
     displaceable binding in the cerebral cortex and subcortical gray matter.
     These results demonstrate that [11C]dapoxetine.cntdot.HCl can be prepd. in
     high purity and may be useful for the in vivo evaluation of serotonin
     re-uptake mechanisms.
ST
     carbon 11 dapoxetine brain PET
IT
     Brain, metabolism
        (dapoxetine metab. by, PET of, using carbon-11-dapoxetine)
IT
     Tomography
        (positron-emission, of dapoxetine metab. in brain, using
        carbgon-11-dapoxetine)
ΙT
     157166-72-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and biodistribution of and PET with, of dapoxetine metab. in
       brain)
IT
     156453-53-1P
                    157166-71-7P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and conversion to dapoxetine)
IT
     119356-77-3P, Dapoxetine
    RL: BPR (Biological process); BSU (Biological study, unclassified); SPN
     (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC
     (Process)
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(prepn. and metab. of, PET of, with carbon-11-dapoxetine)

Welcome to STN International! Enter x:x

LOGINID:sssptau125rxt

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * Welcome to STN International NEWS Web Page URLs for STN Seminar Schedule - N. America NEWS "Ask CAS" for self-help around the clock NEWS SEP 09 CA/CAplus records now contain indexing from 1907 to the present NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective August 1, 2003 NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR NEWS 10 SEP 22 DIPPR file reloaded NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded NEWS 12 SEP 29 DISSABS now available on STN NEWS 13 OCT 10 PCTFULL: Two new display fields added NEWS 14 OCT 21 BIOSIS file reloaded and enhanced NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced NEWS 16 NOV 24 MSDS-CCOHS file reloaded NEWS EXPRESS NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003 NEWS HOURS STN Operating Hours Plus Help Desk Availability NEWS INTER General Internet Information Welcome Banner and News Items NEWS LOGIN NEWS PHONE Direct Dial and Telecommunication Network Access to STN NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 12:20:03 ON 25 NOV 2003

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COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:20:14 ON 25 NOV 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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STRUCTURE FILE UPDATES: 24 NOV 2003 HIGHEST RN 620531-14-8 DICTIONARY FILE UPDATES: 24 NOV 2003 HIGHEST RN 620531-14-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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=> e dapoxetine
E1
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E2
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E3
             2 --> DAPOXETINE/BI
                   DAPOXYL/BI
E4
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E5
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E12
                   DAPPLE/BI
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             2 DAPOXETINE/BI
=> d 11 1-2
L1
     ANSWER 1 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN
RN
     129938-20-1 REGISTRY
CN
     Benzenemethanamine, N,N-dimethyl-.alpha.-[2-(1-naphthalenyloxy)ethyl]-,
     hydrochloride, (.alpha.S) - (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
     Benzenemethanamine, N,N-dimethyl-.alpha.-[2-(1-naphthalenyloxy)ethyl]-,
     hydrochloride, (S)-
OTHER NAMES:
CN
    Dapoxetine hydrochloride
     LY 210448 hydrochloride
CN
FS
     STEREOSEARCH
MF
     C21 H23 N O . C1 H
     US Adopted Names Council
SR
LC
     STN Files:
                  CA, CAPLUS, IPA, SYNTHLINE, USAN, USPATFULL
     Other Sources:
CRN
    (119356-77-3)
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Absolute stereochemistry.

● HCl

- 3 REFERENCES IN FILE CA (1907 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L1 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 119356-77-3 REGISTRY
- CN Benzenemethanamine, N,N-dimethyl-.alpha.-[2-(1-naphthalenyloxy)ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

OTHER NAMES:

CN Dapoxetine

CN LY 210448

FS STEREOSEARCH

MF C21 H23 N O

CI COM

SR CA

LC STN Files: ADISINSIGHT, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CBNB, CIN, DDFU, DRUGNL, DRUGU, DRUGUPDATES, EMBASE, MEDLINE, PHAR, PROMT, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL (*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 12 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 12 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION 7.98 8.19

FULL ESTIMATED COST

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FILE COVERS 1907 - 25 Nov 2003 VOL 139 ISS 22 FILE LAST UPDATED: 24 Nov 2003 (20031124/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 11

L2 14 L1

=> d 12 1-14

- L2 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:494560 CAPLUS
- DN 137:226186
- TI Studies on the three dimensional quantitative structure-activity relationship of serotonin reuptake inhibitors
- AU Shi, Yu; Wang, Xiao-fang; Yang, Guang-zhong
- CS Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing, 100050, Peop. Rep. China
- SO Jisuanji Yu Yingyong Huaxue (2002), 19(1/2), 35-40 CODEN: JYYHE6; ISSN: 1001-4160
- PB Jisuanji Yu Yingyong Huaxue Bianjibu
- DT Journal
- LA Chinese
- L2 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:241329 CAPLUS
- DN 136:284433
- TI Administration of phosphodiesterase inhibitors for the treatment of premature ejaculation
- IN Wilson, Leland F.; Doherty, Paul C.; Place, Virgil A.; Smith, William L.; Abdel-Hamid, Abdou Ali Ibrahim Aboubakr
- PA USA
- SO U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 467,094. CODEN: USXXCO
- DT Patent
- LA English

FAN.CNT 7

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      US 6548490
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      WO 2003000343
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      ANSWER 3 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
      2002:90620 CAPLUS
      136:112659
DN
ΤI
      Methods of inhibiting platelet activation with selective serotonin
      reuptake inhibitors and treatment of cardiovascular disease
IN
      Serebruany, Victor L.; Gurbel, Paul A.; O'Connor, Christopher M.
PA
      Heartdrug Research, LLC, USA
      U.S. Pat. Appl. Publ., 22 pp., Cont.-in-part of U.S. 6,245,782.
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      ANSWER 4 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
L2
      2001:434867 CAPLUS
ΑN
DN
      135:29158
      The combination of a serotonin reuptake inhibitor and irindalone for the
ΤI
      treatment of depression and other affective disorders
IN
      Bogeso, Klaus Peter; Cremers, Thomas Ivo Franciscus Hubert
PΑ
      H. Lundbeck A/S, Den.
SO
      PCT Int. Appl., 29 pp.
      CODEN: PIXXD2
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20020801
     US 2002103249
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              ALL CITATIONS AVAILABLE IN THE RE FORMAT
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     ANSWER 5 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2001:434808 CAPLUS
     135:41033
DN
     The combination of a serotonin reuptake inhibitor and a 5-HT2C antagonist,
TΙ
     inverse agonist or partial agonist
     Cremers, Thomas Ivo Franciscus Hubert; Wikstroem, Hakan Wilhelm; Den Boer,
ΙN
     Johan Antonie; Bosker, Fokko Jan; Westerink, Bernard Hendrik Cornelis;
     Bogeso, Klaus Peter; Hogg, Sandra; Mork, Arne
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     H. Lundbeck A/s, Den.
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L2
     ANSWER 6 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2001:185565 CAPLUS
DN
     134:217211
TI
     Methods of using rapid-onset selective serotonin reuptake inhibitors for
     treating sexual dysfunction
ΙN
     Thor, Karl Bruce
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     Eli Lilly and Co., USA
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     PCT Int. Appl., 54 pp.
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L2
     ANSWER 7 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2000:98327 CAPLUS
DN
     132:146650
TI
     Treating depression with a combination of a serotonin uptake inhibitor, a
     5-HT1A presynaptic antagonist, and a 5-HT1A agonist
IN
     Depoortere, Henri
PA
     Sanofi-Synthelabo, Fr.
     PCT Int. Appl., 36 pp.
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     1995:733398 CAPLUS
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     123:102797
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     Treatment of tobacco withdrawal symptoms
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     Johnson, Kristine Hagen
PΑ
     Lilly, Eli, and Co., USA
     S. African, 60 pp.
SO
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CODEN: JCBADL; ISSN: 0378-4347

DT Journal LA English

L2 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1992:255284 CAPLUS

DN 116:255284

TI A chiral synthesis of dapoxetine hydrochloride, a serotonin reuptake inhibitor, and its 14C isotopomer

AU Wheeler, William J.; O'Bannon, Douglas D.

CS Lilly Corp. Cent., Eli Lilly and Co., Indianapolis, IN, 46285, USA

SO Journal of Labelled Compounds and Radiopharmaceuticals (1992), 31(4), 305-15

CODEN: JLCRD4; ISSN: 0362-4803

DT Journal

LA English

OS CASREACT 116:255284

L2 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1989:114467 CAPLUS

DN 110:114467

TI Preparation of 1-phenyl-3-(naphthalenyloxy)propanamines as serotonin inhibitors

IN Robertson, David Wayne; Thompson, Dennis Charles; Wong, David Taiwai

PA Lilly, Eli, and Co., USA

SO Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

DT Patent LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	EP 288188 EP 288188	A1 B1	19881026 19911016	EP 1988-303177 19880408
	R: AT, BE,	CH, DE	, ES, FR,	GB, GR, IT, LI, LU, NL, SE
	IL 85988	A1	19920818	
	CA 1329937	A1	19940531	
	AU 8814335	A1	19881013	AU 1988-14335 19880407
	AU 602971	B2	19901101	
	JP 63258837	A2	19881026	JP 1988-88025 19880407
	JP 06037443	B4	19940518	
	DK 8801882	Α	19890112	DK 1988-1882 19880407
	DK 170637	B1	19951120	
	ZA 8802418	Α	19891227	
	CN 88102018	Α	19881026	CN 1988-102018 19880408
	CN 1020093	В	19930317	
	HU 50316	A2	19900129	HU 1988-1790 19880408
	HU 204767	В	19920228	
	SU 1568886	A3	19900530	SU 1988-4355511 19880408
	AT 68473	E	19911115	AT 1988-303177 19880408
	ES 2045109	Т3	19940116	ES 1988-303177 19880408
	US 5135947	Α	19920804	US 1990-561492 19900801
PRAI	US 1987-36534		19870409	
	EP 1988-303177		19880408	
	US 1988-191465		19880509	
	US 1989-372149		19890626	
os	MARPAT 110:11446	57		

^{=&}gt; s selective serotinin reuptake inhibitor 342211 SELECTIVE 143 SEROTININ

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6406 REUPTAKE
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=> s 14 and 15
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L6
     ANSWER 1 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     2003:769978 CAPLUS
TТ
     Antidepressants and Ejaculation: A Double-Blind, Randomized,
     Fixed-Dose Study With Mirtazapine and Paroxetine
ΑU
     Waldinger, Marcel D.; Zwinderman, Aeilko H.; Olivier, Berend
     Department of Psychiatry and Neurosexology, Leyenburg Hospital, The Hague,
CS
     Neth.
SO
     Journal of Clinical Psychopharmacology (2003), 23(5), 467-470
     CODEN: JCPYDR; ISSN: 0271-0749
PB
     Lippincott Williams & Wilkins
DT
     Journal
LΑ
     English
L6
     ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2003:569775 CAPLUS
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```
DN
     139:224310
     High-dose sildenafil citrate for selective serotonin
TТ
     reuptake inhibitor-associated ejaculatory
     delay: open clinical trial
AU
     Seidman, Stuart N.; Pesce, Vanessa C.; Roose, Steven P.
CS
     Department of Psychiatry, College of Physicians and Surgeons of Columbia
     University, New York, NY, USA
SO
     Journal of Clinical Psychiatry (2003), 64(6), 721-725
     CODEN: JCLPDE; ISSN: 0160-6689
PB
     Physicians Postgraduate Press, Inc.
DT
     Journal
LiΑ
     English
RE.CNT 20
              THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6
     ANSWER 3 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2003:245616 CAPLUS
DN
     138:379122
     Serum leptin levels in patients with premature ejaculation
TI
     before and after citalogram treatment
     Atmaca, M.; Kuloglu, M.; Tezcan, E.; Ustundag, B.; Semercioz, A.
ΑU
CS
     Department of Psychiatry, Firat University, School of Medicine, Elazig,
     Turk.
SO
     BJU International (2003), 91(3), 252-254
     CODEN: BJINFO; ISSN: 1464-4096
PΒ
     Blackwell Publishing Ltd.
DT
     Journal
     English
RE.CNT 24
              THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6
     ANSWER 4 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     2002:777890 CAPLUS
DN
     137:294879
     Preparation of cyclopropylindoles as selective serotonin reuptake
TI
     inhibitors
     Mattson, Ronald; Denhart, Derek; Deskus, Jeffrey; Ditta, Jonathan; Marcin,
IN
     Lawrence; Epperson, James; Catt, John; King, Dalton; Higgins, Mendi
     Bristol-Myers Squibb Company, USA
PA
     PCT Int. Appl., 161 pp.
SO
     CODEN: PIXXD2
DΤ
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LΑ
     English
FAN.CNT 1
     PATENT NO.
                  KIND DATE
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ΡI
     WO 2002079152
                     A1
                            20021010
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
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     US 2003073849
                            20030417
                      A1
                                          US 2002-91232 20020305
PRAI US 2001-279888P
                       Ρ
                            20010329
     US 2001-293122P
                       Ρ
                            20010523
     US 2001-327804P
                       Ρ
                            20011009
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RE.CNT 1
                THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6
     ANSWER 5 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
      2002:184345 CAPLUS
AN
DN
      137:210798
      Chronic oral administration of clomipramine decreases sexual behavior in
ΤI
      the male Syrian hamster (Mesocricetus auratus)
AU
      Boscarino, Brent T.; Parfitt, David B.
CS
      Department of Biology and Neuroscience Program, Middlebury College,
     Middlebury, VT, 05753, USA
      Physiology & Behavior (2002), 75(3), 361-366
SO
     CODEN: PHBHA4; ISSN: 0031-9384
PΒ
     Elsevier Science Inc.
DT
      Journal
LΑ
     English
L6
     ANSWER 6 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
     2002:51254 CAPLUS
AN
     136:107530
DN
TI
     Combinations of serotonin reuptake inhibitor and estrogenic agents
IN
     Jenkins, Simon Nicholas
PA
     American Home Products Corporation, USA
SO
     PCT Int. Appl., 41 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LА
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PΙ
     WO 2002003975
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                               20020117
                                               WO 2001-US20738 20010629
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                        A3
                               20020926
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
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              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6369051
                         В1
                               20020409
                                               US 2001-896361
                                                                  20010629
     US 2002042432
                         A1
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     EP 1311293
                         A2
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PRAI US 2000-216408P
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     WO 2001-US20738
                         W
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os
     MARPAT 136:107530
L6
     ANSWER 7 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2001:185565 CAPLUS
DN
     134:217211
     Methods of using rapid-onset selective serotonin reuptake inhibitors for
ΤI
     treating sexual dysfunction
IN
     Thor, Karl Bruce
PA
     Eli Lilly and Co., USA
SO
     PCT Int. Appl., 54 pp.
     CODEN: PIXXD2
     Patent
DT
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LA
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PATENT NO.
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      WO 2001017521
                        A1 20010315
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                                              BR 2000-14166
      BR 2000014166
                        Α
                             20020514
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                              20020731
      EP 1225881
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                                                 EP 2000-957264
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               IE, SI, LT, LV, FI, RO, MK, CY, AL
      EE 200200107
                        A 20030415
                                               EE 2002-107
                                                                    20000822
      NZ 517038
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                               20030429
                                                 NZ 2000-517038
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      AU 762934
                         B2 20030710
                                               AU 2000-68911 20000822
      JP 2001089394
                        A2 20010403
                                                JP 2000-259000
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      JP 3194734
                         B2 20010806
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                         A 20021229
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                                                                    20020228
      NO 2002001035
                         A 20020502
                                               NO 2002-1035
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PRAI US 1999-152435P P
                               19990903
      WO 2000-US20788 W 20000822
RE.CNT 8
                THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
                ALL CITATIONS AVAILABLE IN THE RE FORMAT
      ANSWER 8 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
L6
ΑN
      1999:623767 CAPLUS
DN
      132:117578
TI
      Hormone-neurotransmitter interactions in the control of sexual behavior
AU
      Hull, E. M.; Lorrain, D. S.; Du, J.; Matuszewich, L.; Lumley, L. A.;
      Putnam, S. K.; Moses, J.
CS
      Department of Psychology, State University of New York at Buffalo,
      Buffalo, NY, USA
      Behavioural Brain Research (1999), 105(1), 105-116
SO
      CODEN: BBREDI; ISSN: 0166-4328
      Elsevier Science Ireland Ltd.
PB
      Journal; General Review
DT
LA
      English
RE.CNT 113
                THERE ARE 113 CITED REFERENCES AVAILABLE FOR THIS RECORD
                ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6
     ANSWER 9 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
AN
      1999:362458 CAPLUS
DN
      131:13920
      Effect of buspirone on sexual dysfunction in depressed patients treated
TΙ
      with selective serotonin reuptake inhibitors
      Landen, Mikael; Eriksson, Elias; Agren, Hans; Fahlen, Tom
ΑU
      Institute of Clinical Neuroscience, Departments of Psychiatry and
CS
     Neurochemistry, Goteborg University, Goteborg, Swed.
      Journal of Clinical Psychopharmacology (1999), 19(3), 268-271
SO
      CODEN: JCPYDR; ISSN: 0271-0749
PB
     Lippincott Williams & Wilkins
DT
      Journal
LА
     English
RE.CNT 28
                THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
                ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 10 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
L6
AN
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1999:62618 CAPLUS

- DN 130:262483
- Facilitation and inhibition of male rat **ejaculatory** behavior by the respective 5-HT1A and 5-HT1B receptor agonists 8-OH-DPAT and anpirtoline, as evidenced by use of the corresponding new and selective receptor antagonists NAD-299 and NAS-181
- AU Hillegaart, Viveka; Ahlenius, Sven
- CS Department of Pharmacology, Astra Arcus AB, Soedertaelje, SE-151 85, Swed.
- SO British Journal of Pharmacology (1998), 125(8), 1733-1743 CODEN: BJPCBM; ISSN: 0007-1188
- PB Stockton Press
- DT Journal
- LA English
- RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:45466 CAPLUS
- DN 130:306414
- TI A comparison of the effects of different serotonin reuptake blockers on sexual behavior of the male rat
- AU Mos, Jan; Mollet, Ian; Tolboom, Jeroen T. B. M.; Waldinger, Marcel D.; Olivier, Berend
- CS Solvay Pharmaceuticals, Department of Pharmacology, Weesp, 1380 DA, Neth.
- SO European Neuropsychopharmacology (1999), 9(1-2), 123-135 CODEN: EURNE8; ISSN: 0924-977X
- PB Elsevier Science Ireland Ltd.
- DT Journal
- LA English
- RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:419621 CAPLUS
- DN 129:156848
- TI An open-label pilot study of fluvoxamine for mixed anxiety-depression
- AU Houck, Carl
- CS Department of Psychiatry and Behavioral Neurobiology, University of Alabama at Birmingham, Birmingham, AL, 35205, USA
- SO Psychopharmacology Bulletin (1998), 34(2), 225-227 CODEN: PSYBB9; ISSN: 0048-5764
- PB National Institute of Mental Health
- DT Journal
- LA English
- RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:349246 CAPLUS
- DN 129:90380
- TI The selective serotonin reuptake
 - inhibitor fluoxetine reduces sexual motivation in male rats
- AU Matuszcyk, Josefa Vega; Larsson, Knut; Eriksson, Elias
- CS Department of Psychology, University of Goteborg, Goteborg, S-413 14, Swed.
- SO Pharmacology, Biochemistry and Behavior (1998), 60(2), 527-532 CODEN: PBBHAU; ISSN: 0091-3057
- PB Elsevier Science Inc.
- DT Journal
- LA English
- RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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1.6
     ANSWER 14 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     1997:751744 CAPLUS
DN
     128:57654
ΤI
     Extracellular serotonin in the lateral hypothalamic area is increased
     during the postejaculatory interval and impairs copulation in male rats
AU
     Lorrain, Daniel S.; Matuszewich, Leslie; Friedman, Ross D.; Hull, Elaine
CS
     Department of Psychology, State University of New York at Buffalo,
     Buffalo, NY, 14260, USA
     Journal of Neuroscience (1997), 17(23), 9361-9366
SO
     CODEN: JNRSDS; ISSN: 0270-6474
PB
     Society for Neuroscience
DT
     Journal
LΑ
     English
RE.CNT 26
              THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6
     ANSWER 15 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1997:702829 CAPLUS
DN
     127:341724
TI
     Fluvoxamine maleate in the treatment of depression: a single-center,
     double-blind, placebo-controlled comparison with imipramine in outpatients
     Claghorn, James L.; Earl, Craig Q.; Walczak, Donna D.; Stoner, Kim A.;
ΑU
     Wong, Lung Fai; Kanter, Donald; Houser, Vincent P.
CS
     Clin. Res. Assocs., Houston, TX, USA
SO
     Journal of Clinical Psychopharmacology (1996), 16(2), 113-120
     CODEN: JCPYDR; ISSN: 0271-0749
PB
     Williams & Wilkins
DT
     Journal
LΑ
     English
1.6
    ANSWER 16 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
    1997:702827 CAPLUS
AN
     128:10222
DN
TI
     An open clinical trial of fluoxetine in the treatment of premature
     ejaculation
     Lee, Hong Shick; Song, Dong Ho; Kim, Chan-Hyung; Choi, Hyoung Kee
AU
     Department Psychiatry, College Medicine, Yonsei University, Seoul, S.
CS
     Korea
SO
     Journal of Clinical Psychopharmacology (1996), 16(5), 379-382
     CODEN: JCPYDR; ISSN: 0271-0749
PB
     Williams & Wilkins
DT
     Journal
LA
    English
RE.CNT 21
              THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6
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     1997:634304 CAPLUS
AN
DN
     127:287476
TΙ
    A critical review of selective serotonin
     reuptake inhibitor-related sexual dysfunction;
     incidence, possible etiology and implications for management
ΑU
    Lane, R. M.
CS
     Pfizer Inc., New York, NY, 10017, USA
SO
     Journal of Psychopharmacology (London) (1997), 11(1), 72-82
     CODEN: JOPSEQ; ISSN: 0269-8811
PB
     SAGE Publications
DT
     Journal; General Review
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ANSWER 18 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN

LΑ

Lб

English

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1997:79863 CAPLUS
AN
DN
     126:180636
ΤI
     Tolerability and safety of citalopram
ΑU
     Baldwin, David; Johnson, F. Neil
     Royal South Hants Hospital, University Department of Psychiatry,
CS
     Southampton, SO14 OYG, UK
     Reviews in Contemporary Pharmacotherapy (1995), 6(6), 315-325
SO
     CODEN: RCPHFW; ISSN: 0954-8602
PB
     Marius Press
DT
     Journal; General Review
LΑ
     English
=> d 16 9 all
     ANSWER 9 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     1999:362458 CAPLUS
DN
     131:13920
ΤI
     Effect of buspirone on sexual dysfunction in depressed patients treated
     with selective serotonin reuptake inhibitors
     Landen, Mikael; Eriksson, Elias; Agren, Hans; Fahlen, Tom
AU
     Institute of Clinical Neuroscience, Departments of Psychiatry and
CS
     Neurochemistry, Goteborg University, Goteborg, Swed.
SO
     Journal of Clinical Psychopharmacology (1999), 19(3), 268-271
     CODEN: JCPYDR; ISSN: 0271-0749
PB
     Lippincott Williams & Wilkins
DT
     Journal
LΑ
     English
CC
     1-11 (Pharmacology)
     To evaluate the possible influence of buspirone on sexual dysfunction in
AB
     depressed patients treated with a selective serotonin
     reuptake inhibitor (SSRI; citalopram or paroxetine),
     data were analyzed from a placebo-controlled trial designed to explore the
     efficacy of buspirone as add-on treatment for patients not responding to
     an SSRI alone. All the patients met the criteria for a major depressive
     episode according to DSM-IV and had received citalogram or paroxetine
     during a min. of 4 wk without responding to the treatment. Buspirone
     (20-60 mg/day) or placebo was added to the SSRI for 4 wk; the mean daily
     dose of buspirone at endpoint was 48.5 mg/day. Before starting medication
     with buspirone or placebo, 40% (47 of 117 patients) reported at least one
     kind of sexual dysfunction (decreased libido, ejaculatory
     dysfunction, orgasmic dysfunction). During the 4 wk of treatment, approx.
     58% of the subjects treated with buspirone reported an improvement with
     respect to sexual function; in the placebo group, the response rate was
     30%. The difference between placebo and active drug treatment was more
     pronounced in women than in men. The response was obvious during the 1st
     week, with no further improvement during the course of the study. It is
     suggested that the effect of buspirone on sexual dysfunction is a result
     of a reversal of SSRI-induced sexual side effects rather than of an
     antidepressant effect of the drug.
ST
    buspirone serotonin reuptake inhibitor sex dysfunction; antidepressant sex
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buspirone serotonin reuptake inhibitor sex dysfunction; antidepressant sex dysfunction buspirone; citalopram paroxetine depression sex dysfunction buspirone

IT Antidepressants

(buspirone effect on sexual dysfunction in depressed humans treated with selective serotonin reuptake inhibitors)

IT Mental disorder

(depression; buspirone effect on sexual dysfunction in depressed humans treated with selective serotonin reuptake inhibitors)

IT Sexual behavior

(disorder; buspirone effect on sexual dysfunction in depressed humans treated with selective serotonin reuptake inhibitors)

IT 59729-33-8, Citalopram 61869-08-7 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (buspirone effect on sexual dysfunction in depressed humans treated with selective serotonin reuptake inhibitors) IT 36505-84-7, Buspirone RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (buspirone effect on sexual dysfunction in depressed humans treated with selective serotonin reuptake inhibitors) IT 50-67-9, Serotonin, biological studies RL: BSU (Biological study, unclassified); BIOL (Biological study) (reuptake inhibitors; buspirone effect on sexual dysfunction in depressed humans treated with selective serotonin reuptake inhibitors) RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD (1) Ahlenius, S; Pharmacol Biochem Behav 1989, V33, P691 CAPLUS (2) Aizenberg, D; Clin Neuropharmacol 1997, V20, P210 CAPLUS (3) Balogh, S; J Clin Psychiatry 1992, V53, P212 MEDLINE (4) Balon, R; JAMA 1995, V273, P1489 MEDLINE (5) Bartlik, B; J Sex Marital Ther 1995, V21, P264 MEDLINE (6) Blier, P; Neuropharmacology 1991, V30, P692 (7) Eison, A; Am J Med 1986, V80, P1 CAPLUS (8) Elmore, J; Pharmacotherapy 1997, V17, P612 MEDLINE (9) Eriksson, E; Neuropsychopharmacology 1995, V12, P167 MEDLINE (10) Feiger, A; J Clin Psychiatry 1996, V57(suppl 2), P53 (11) Guy, W; ECDEU assessment manual for psychopharmacology 1976, P534 (12) Herman, J; J Clin Psychiatry 1990, V51, P25 MEDLINE (13) Hollander, E; J Clin Psychiatry 1992, V53, P207 MEDLINE (14) Jacobsen, F; J Clin Psychiatry 1992, V53, P119 MEDLINE (15) Kara, H; J Urol 1996, V156, P1631 CAPLUS (16) Landen, M; J Clin Psychiatry 1998, V59, P664 CAPLUS (17) Lingjaerde, O; Acta Psychiatr Scand Suppl 1987, V334, P1 MEDLINE (18) Modell, J; Clin Pharmacol Ther 1997, V61, P476 CAPLUS (19) Monteiro, W; Br J Psychiatry 1987, V151, P107 MEDLINE (20) Norden, M; Depression 1994, V2, P109 (21) Othmer, E; J Clin Psychiatry 1987, V48, P201 MEDLINE (22) Segraves, R; American Psychiatric Press review of psychiatry 1995, V14, P697 (23) Shen, W; Int J Psychiatry Med 1995, V25, P239 MEDLINE (24) Stein, D; CNS Drugs 1994, V2, P78

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- ANSWER 10 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN L6
- 1999:62618 ANCAPLUS
- 130:262483 DN
- Facilitation and inhibition of male rat ejaculatory behavior by TI the respective 5-HT1A and 5-HT1B receptor agonists 8-OH-DPAT and anpirtoline, as evidenced by use of the corresponding new and selective receptor antagonists NAD-299 and NAS-181
- AU Hillegaart, Viveka; Ahlenius, Sven
- CS Department of Pharmacology, Astra Arcus AB, Soedertaelje, SE-151 85, Swed.
- SO British Journal of Pharmacology (1998), 125(8), 1733-1743 CODEN: BJPCBM; ISSN: 0007-1188

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PB
     Stockton Press
DT
     Journal
LA
     English
CC
     2-8 (Mammalian Hormones)
     Section cross-reference(s): 1
AΒ
     Ejaculatory problems and anorgasmia are well-known side-effects
     of the SSRI (selective serotonin reuptake
     inhibitor) antidepressants, and a pharmacol. induced increase in
     serotonergic neurotransmission inhibits ejaculatory behavior in
     the rat. In the present study the role of 5-HT1A and 5-HT1B receptors in
     the mediation of male rat ejaculatory behavior was examd. by use
     of selective agonists and antagonists acting at these 5-HT receptor
     subtypes. The 5-HT1A receptor agonist 8-OH-DPAT (0.25-4.00 .mu.mol kg-1
     s.c.) produced an expected facilitation of the male rat
     ejaculatory behavior, and this effect was fully antagonized by
     pretreatment with the new selective 5-HT1A receptor antagonist
     (R)-3-N, N-dicyclobutylamino-8-fluoro-3, 4-dihydro-2H-1-benzopyran-5-
     carboxamide hydrogen (2R, 3R) tartrate monohydrate (NAD-299) (1.0 .mu.mol
     kg-1 s.c.). NAD-299 by itself (0.75-3.00 .mu.mol kg-1 s.c.) did not
     affect the male rat ejaculatory behavior. The 5-HT1B receptor
     agonist anpirtoline (0.25-4.00 .mu.mol kg-1 s.c.) produced a
     dose-dependent inhibition of the male rat ejaculatory behavior,
     and this effect was fully antagonized by pretreatment with the 5-HT1B
     receptor antagonist isamoltane (16 .mu.mol kg-1 s.c.) as well as by the
     new and selective antagonist (R)-(+)-2-(3-morpholinomethyl-2H-chromene-8-
     yl)oxymethylmorpholino methanesulfonate (NAS-181) (16 .mu.mol kg-1 s.c.).
     Isamoltane (1.0-16.0 .mu.mol kg-1 s.c.) and NAD-181 (1.0-16.0 .mu.mol kg-1
     s.c.) had no, or weakly facilitatory effects on the male rat
     ejaculatory behavior. The non-selective 5-HT1 receptor antagonist
     (-)-pindolol (8 .mu.mol kg-1 s.c.), did not antagonize the inhibition
     produced by anpirtoline. The present results demonstrate opposite
     effects, facilitation and inhibition, of male rat ejaculatory
     behavior by stimulation of 5-HT1A and 5-HT1B receptors, resp., suggesting
     that the SSRI-induced inhibition of male ejaculatory dysfunction
     is due to 5-HT1B receptor stimulation.
     ejaculatory behavior serotonergic receptor agonist antagonist
ST
IT
     5-HT agonists
        (5-HT1; facilitation and inhibition of male rat ejaculatory
        behavior by 5-HT1A and 5-HT1B receptor agonists 8-OH-DPAT and
        anpirtoline as evidenced by use of receptor antagonists NAD-299 and
        NAS-181)
IT
     5-HT receptors
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (5-HT1A; facilitation and inhibition of male rat ejaculatory
        behavior by 5-HT1A and 5-HT1B receptor agonists 8-OH-DPAT and
        anpirtoline as evidenced by use of receptor antagonists NAD-299 and
        NAS-181)
TT
     5-HT receptors
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (5-HT1B; facilitation and inhibition of male rat ejaculatory
        behavior by 5-HT1A and 5-HT1B receptor agonists 8-OH-DPAT and
        anpirtoline as evidenced by use of receptor antagonists NAD-299 and
       NAS-181)
IT
    Sexual behavior
        (ejaculation; facilitation and inhibition of male rat
        ejaculatory behavior by 5-HT1A and 5-HT1B receptor agonists
        8-OH-DPAT and anpirtoline as evidenced by use of receptor antagonists
       NAD-299 and NAS-181)
ΙT
     5-HT antagonists
        (facilitation and inhibition of male rat ejaculatory behavior
```

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by 5-HT1A and 5-HT1B receptor agonists 8-OH-DPAT and anpirtoline as
        evidenced by use of receptor antagonists NAD-299 and NAS-181)
IT
     26328-11-0, (-)-Pindolol
                                 55050-95-8, Isamoltane
                                                           78950-78-4, 8-OH-DPAT
     98330-05-3, Anpirtoline
                                205242-62-2, NAS 181
                                                      208516-87-4, NAD-299
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); BIOL (Biological study)
        (facilitation and inhibition of male rat ejaculatory behavior
        by 5-HT1A and 5-HT1B receptor agonists 8-OH-DPAT and anpirtoline as
        evidenced by use of receptor antagonists NAD-299 and NAS-181)
RE.CNT
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L6 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:45466 CAPLUS

DN 130:306414

- TI A comparison of the effects of different serotonin reuptake blockers on sexual behavior of the male rat
- AU Mos, Jan; Mollet, Ian; Tolboom, Jeroen T. B. M.; Waldinger, Marcel D.; Olivier, Berend
- CS Solvay Pharmaceuticals, Department of Pharmacology, Weesp, 1380 DA, Neth.
- SO European Neuropsychopharmacology (1999), 9(1-2), 123-135 CODEN: EURNE8; ISSN: 0924-977X
- PB Elsevier Science Ireland Ltd.
- DT Journal
- LA English

AB

- CC 1-11 (Pharmacology)
 - In human males, SSRIs differentially affect (premature) ejaculation; paroxetine and fluoxetine markedly and sertraline, moderately inhibited ejaculation latency, whereas fluvoxamine did not inhibit this parameter (Waldinger, M.D., Hengeveld, M.W., Zwinderman, A.H., Olivier, B., The effect of SSRI antidepressants on ejaculation: a double-blind, randomized, placebo-controlled study with fluoxetine, fluvoxamine, paroxetine and sertraline. J. Clin. Psychopharmacol. (in press)). The present studies tried to investigate, using sexual behavior in male rats, whether such differences could also be found in animal paradigms of sexual behavior. In a series of three expts. we compared various specific serotonin reuptake inhibitors (SSRIs) for their ability to suppress sexual behavior in male rats. In the first expt. sexually experienced rats were tested 60 min after oral administration of clomipramine, fluvoxamine, fluoxetine (all in a range of 0, 3, 10 and 30 mg/kg p.o.), sertraline or paroxetine (both in a range of 0, 1, 3 and 10 mg/kg p.o.). Clomipramine, paroxetine and fluvoxamine did not significantly inhibit male sexual behavior, although some trends were Sertraline inhibited sexual behavior at 3 and 10 mg/kg p.o., the effects being stronger at 3 mg/kg p.o. Fluoxetine (3 mg/kg p.o.) facilitated sexual behavior, while at 30 mg/kg p.o. a modest increase in the postejaculatory interval was noted. In the second expt., sexual behavior of sexually naive male rats was slightly inhibited by paroxetine 10 mg/kg p.o., but sertraline (range 1-10 mg/kg p.o.), fluvoxamine and fluoxetine (both in a range of 3-30 mg/kg p.o.) were ineffective. In the last expt. the effects of paroxetine (0-10 mg/kg p.o.), fluvoxamine and fluoxetine (both 0-30 mg/kg p.o.) were studied during an exhaustion design in sexually experienced male rats. As rats get more 'sluggish' when they have had multiple ejaculations, we hoped to see stronger inhibitory effects in the last cycle prior to exhaustion. None of the drugs dose-dependently inhibited the pattern of sexual behavior during the first sexual cycle. In the last cycle the patterning of sexual behavior differed, but only paroxetine (10 mg/kg p.o.) inhibited sexual behavior significantly. The total no. of ejaculations during the test was not reduced by any of the SSRIs tested. Contrary to human findings, we did not find major inhibitory effects of SSRIs on male rat sexual behavior at non-sedative doses. The only differentiation that could be made is that paroxetine and sertraline had slightly stronger effects than the other 5-HT reuptake inhibitors. Masculine sexual behavior in rats does not constitute a suitable model to investigate the differential mechanism of sexual inhibition of SSRIs that have been described in human
- ST serotonin reuptake clomipramine paroxetine fluvoxamine sertraline fluoxetine
- IT Antidepressants Sexual behavior
 - (a comparison of effects of different serotonin reuptake blockers on sexual behavior of male rat)
- IT 303-49-1, Clomipramine 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 61869-08-7, Paroxetine 79617-96-2, Sertraline RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU

```
(Therapeutic use); BIOL (Biological study); USES (Uses)
        (a comparison of effects of different serotonin reuptake blockers on
        sexual behavior of male rat)
TΤ
     50-67-9, Serotonin, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (selective serotonin reuptake
        inhibitor; a comparison of effects of different serotonin
        reuptake blockers on sexual behavior of male rat)
RE.CNT
              THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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- L6 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:702829 CAPLUS
- DN 127:341724
- TI Fluvoxamine maleate in the treatment of depression: a single-center, double-blind, placebo-controlled comparison with imipramine in outpatients
- AU Claghorn, James L.; Earl, Craig Q.; Walczak, Donna D.; Stoner, Kim A.; Wong, Lung Fai; Kanter, Donald; Houser, Vincent P.
- CS Clin. Res. Assocs., Houston, TX, USA
- SO Journal of Clinical Psychopharmacology (1996), 16(2), 113-120 CODEN: JCPYDR; ISSN: 0271-0749
- PB Williams & Wilkins
- DT Journal
- LA English
- CC 1-11 (Pharmacology)
- AB The efficacy and safety of fluvoxamine maleate, a selective serotonin reuptake inhibitor, was compared

with placebo and imipramine in patients with major depression disorder. Previous literature has cited a dose range of 100 to 300 mg/day of fluvoxamine maleate for the treatment of major depression; however, this study demonstrates that a dose range of 50 to 150 mg/day is as effective as imipramine (80-240 mg/day). After a 1- to 2-wk, single-blind, placebo washout phase, 150 depressed outpatients were randomized to double-blind treatment with fluvoxamine maleate (50-150 mg/day), imipramine (80-240 mg/day), or placebo for 6 wk. Flovoxamine produced a significant therapeutic benefit over placebo (p .ltoreq. 0.05) as assessed by the total score on the Hamilton Rating Scale for Depression; imipramine (80-240 mg/day) produced similar results. The secondary outcome variables (i.e., Clin. Global Impression severity of illness item of 56-Item Hopkins Symptom Checklist depression factor) also showed significant differences between fluvoxamine maleate and placebo during three of the four final weeks of the study. Both fluvoxamine maleate and imipramine appeared to be safe and well tolerated by the majority of patients. As expected from the pharmacol. of these agents, the imipramine groups reported more anticholinergic effects (dry mouth, dizziness, and urinary retention) and electrocardiog. effects, whereas the fluvoxamine group reported more nausea, somnolence, and abnormal ejaculation. The majority of these adverse events were mild to moderate and, with the exception of dry mouth (imipramine) and abnormal ejaculation (fluvoxamine), were transient. The data clearly demonstrate the antidepressant activity and tolerability of fluvoxamine maleate (50-150 mg/day) was compared with placebo; it is also as effective as the tricyclic antidepressant imipramine (80-240 mg/day) in patients with major depressive disorder.

- ST fluvoxamine imipramine antidepressant
- IT Antidepressants

(selective serotonin reuptake inhibitors; Comparison of fluvoxamine and imipramine in treatment of depression in humans)

- IT 54739-18-3, Fluvoxamine
 - RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (Comparison of fluvoxamine and imipramine in treatment of depression in humans)
- IT 50-49-7, Imipramine
 - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Comparison of fluvoxamine and imipramine in treatment of depression in humans)

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AN
     1997:702827 CAPLUS
DN
     128:10222
     An open clinical trial of fluoxetine in the treatment of premature
TТ
     ejaculation
ΑU
     Lee, Hong Shick; Song, Dong Ho; Kim, Chan-Hyung; Choi, Hyoung Kee
CS
     Department Psychiatry, College Medicine, Yonsei University, Seoul, S.
     Korea
     Journal of Clinical Psychopharmacology (1996), 16(5), 379-382
SO
     CODEN: JCPYDR; ISSN: 0271-0749
PB
     Williams & Wilkins
DT
     Journal
     English
LΑ
CC
     1-11 (Pharmacology)
AΒ
     There have been an increased no. of recent reports on orgasm-related
     sexual dysfunction coincident with selective serotonin
     reuptake inhibitor (SSRI) treatment. In contrast, it
     has also been reported that SSRIs improve sexual dysfunction. Low doses
     of clomipramine and paroxetine, potent 5-hydroxytryptamine reuptake
     blockers, have been found to retard ejaculation time. We
     hypothesized that the SSRI fluoxetine might be effective in treating
     premature ejaculation. In an 8-wk open-label clin. study, 11
     male patients with premature ejaculation were treated with
     fluoxetine. After a washout period of 2 wk, each patient was assigned to
     receive fluoxetine, 20 mg/day for 2 wk, and then titrated to 60 mg/day,
     depending on the patient's tolerability and clin. response. A
     within-subjects comparison of pre- and posttreatment intravaginal
     ejaculation latency ime revealed a significant improvement.
     Fluoxetine treatment produced significant improvements in self-visual
     analog scale scores for sexual desire, anxiety for rapid
     ejaculation, and partner's satisfaction with ejaculation
     and overall sexual function. These data suggest that serotonergic
     antidepressants may be effective in treating rapid ejaculation
     in men and underline the need to carry out a double-blind,
     placebo-controlled trial to confirm these results.
ST
     fluoxetine premature ejaculation sexual dysfunction
TΨ
     Sexual behavior
        (disorder; fluoxetine treatment of premature ejaculation)
IT
     Sexual behavior
        (ejaculation, premature; fluoxetine treatment of premature
        ejaculation)
IT
     Biological transport
        (reuptake; fluoxetine treatment of premature ejaculation)
TΤ
    Antidepressants
        (selective serotonin reuptake inhibitors; fluoxetine treatment of
       premature ejaculation)
IT
     54910-89-3, Fluoxetine
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
        (fluoxetine treatment of premature ejaculation)
     50-67-9, Serotonin, biological studies
TΨ
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (fluoxetine treatment of premature ejaculation)
             THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT
       21
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- (19) Sovner, R; BMJ 1984, V1, P697
- (20) Thomas, D; Psychopharmacology 1987, V93, P193 CAPLUS
- (21) Waldinger, M; Am J Psychiatry 1994, V151, P1377 MEDLINE

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L16 NOT FOUND

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- L6 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:634304 CAPLUS
- DN 127:287476
- TI A critical review of selective serotonin
 reuptake inhibitor-related sexual dysfunction;
 incidence, possible etiology and implications for management
 AU Lane, R. M.
- CS Pfizer Inc., New York, NY, 10017, USA
- SO Journal of Psychopharmacology (London) (1997), 11(1), 72-82 CODEN: JOPSEQ; ISSN: 0269-8811
- PB SAGE Publications
- DT Journal; General Review
- LA English
- CC 1-0 (Pharmacology)
- A review with 92 refs. There is a high incidence of sexual dysfunction in AΒ the general population and sexual dysfunction is often an integral symptom of a depressive disorder. In addn., all antidepressants have effects on sexual functioning as the result of side-effects of these medications and as a reflection of therapeutic success. The selective serotonin reuptake inhibitors (SSRIs) are clearly assocd. with delayed ejaculation, inability to ejaculate and absent or delayed orgasm. Furthermore, the incidence of sexual dysfunction obtained by patient self-report does not appear to reflect the true incidence of sexual dysfunction assocd. with antidepressant therapy and systematic inquiry is needed as sexual dysfunction may be an unrecognized caused of non-compliance. The SSRIs may have advantageous effects on sexual functioning and these may also be under-reported due to the same factors resulting in an under-reporting of sexual side-effects in general. In addn., studies have suggested a role for the SSRIs i the management of premature ejaculation.

effects of SSRIs on sexual functioning are clearly dose-related and may vary amongst the group due to their relative effects on the serotonin and dopamine systems and the extent to which plasma levels of these drugs accumulate in the body over time. A variety of strategies have been found useful in the management of SSRI-induced sexual dysfunction including waiting for tolerance to develop, dosage redn., drug holidays, switching to a different antidepressant and various augmentation strategies with 5-HT2, .alpha.2 adrenergic receptor antagonists and dopamine receptor agonists.

ST serotonin antagonist antidepressant sexual dysfunction review

IT Sexual behavior

(disorder; incidence, possible etiol. and implications for management of selective serotonin reuptake

inhibitor-related sexual dysfunction)

IT 5-HT antagonists

Antidepressants

(incidence, possible etiol. and implications for management of selective serotonin reuptake inhibitor-related sexual dysfunction)

=> d 16 18 all

- L6 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:79863 CAPLUS
- DN 126:180636
- TI Tolerability and safety of citalogram
- AU Baldwin, David; Johnson, F. Neil
- CS Royal South Hants Hospital, University Department of Psychiatry, Southampton, SO14 OYG, UK
- SO Reviews in Contemporary Pharmacotherapy (1995), 6(6), 315-325 CODEN: RCPHFW; ISSN: 0954-8602
- PB Marius Press
- DT Journal; General Review
- LA English
- CC 1-0 (Pharmacology)
- AΒ A review with .apprx.45 refs. The selective serotonin reuptake inhibitor citalopram has proven efficacy in the treatment of acute episodes of depression, and in continuation treatment following symptomatic resoln. The tolerability profile of citalogram is markedly different from that seen with older tricyclic antidepressant drugs, and is similar to that of the other SSRIs. Adverse events which occur more frequently with citalogram than with placebo in controlled trials are nausea, dry mouth, somnolence, increased sweating, tremor, diarrhea, and ejaculation failure. When compared with a range of tricyclic and related drugs in controlled trials, citalogram showed more nausea and ejaculation failure events than the comparator drugs, but on ten other categories of adverse event the tricyclics and related drugs were significantly worse than citalopram. The tolerability profile among elderly patients was broadly similar to that seen amongst younger patients. When compared with established drugs citalopram may have certain advantages in the treatment of elderly patients if the daily dosage is adjusted appropriately. Citalogram was, on the evidence currently available, well tolerated in chronic use. It appears to be relatively safe in overdose when taken alone, and may be esp. useful in depressed patients with suicidal thoughts or a history of suicidal behavior.
- ST review citalogram antidepressant
- IT Antidepressants
 - (tolerability and safety of citalogram in humans)
- IT 59729-33-8, Citalopram
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological

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(tolerability and safety of citalogram in humans)
=>
=> d hisl
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The following are valid formats:
ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ---- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
             SCAN must be entered on the same line as the DISPLAY,
             e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ---- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
             containing hit terms
HITRN ---- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
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HITSEQ ----- HIT RN, its text modification, its CA index name, its
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FHITSTR ---- First HIT RN, its text modification, its CA index name, and
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KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs
To display a particular field or fields, enter the display field
codes. For a list of the display field codes, enter HELP DFIELDS at
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TI, IND; TI, SO. You may specify the format fields in any order and the
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study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number. ENTER DISPLAY FORMAT (BIB):bib ANSWER 1 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN L6 AN 2003:769978 CAPLUS TI Antidepressants and Ejaculation: A Double-Blind, Randomized, Fixed-Dose Study With Mirtazapine and Paroxetine Waldinger, Marcel D.; Zwinderman, Aeilko H.; Olivier, Berend ΑU Department of Psychiatry and Neurosexology, Leyenburg Hospital, The Hague, CS Neth. SO Journal of Clinical Psychopharmacology (2003), 23(5), 467-470 CODEN: JCPYDR; ISSN: 0271-0749 PΒ Lippincott Williams & Wilkins DΤ Journal LΑ English => d his (FILE 'HOME' ENTERED AT 12:20:03 ON 25 NOV 2003) FILE 'REGISTRY' ENTERED AT 12:20:14 ON 25 NOV 2003 E DAPOXETINE L1 2 S E3 FILE 'CAPLUS' ENTERED AT 12:21:05 ON 25 NOV 2003 L2 14 S L1 L3 0 S SELECTIVE SEROTININ REUPTAKE INHIBITOR L4697 S SELECTIVE SEROTONIN REUPTAKE INHIBITOR E EJACULATION 1881 S E1-E10 L5 1.6 18 S L4 AND L5 => d 12ANSWER 1 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN ΑN 2002:494560 CAPLUS DN 137:226186 Studies on the three dimensional quantitative structure-activity TT relationship of serotonin reuptake inhibitors ΑU Shi, Yu; Wang, Xiao-fang; Yang, Guang-zhong CS Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing, 100050, Peop. Rep. China Jisuanji Yu Yingyong Huaxue (2002), 19(1/2), 35-40 SO CODEN: JYYHE6; ISSN: 1001-4160 PB Jisuanji Yu Yingyong Huaxue Bianjibu Journal . DTLΑ Chinese => d 12 1-14 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN L2AN 2002:494560 CAPLUS DN 137:226186

Studies on the three dimensional quantitative structure-activity

relationship of serotonin reuptake inhibitors

TI

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ΑU
     Shi, Yu; Wang, Xiao-fang; Yang, Guang-zhong
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AN
     2002:241329
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     136:284433
    Administration of phosphodiesterase inhibitors for the treatment of
TI
     premature ejaculation
    Wilson, Leland F.; Doherty, Paul C.; Place, Virgil A.; Smith, William L.;
IN
    Abdel-Hamid, Abdou Ali Ibrahim Aboubakr
PA
SO
    U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 467,094.
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    2002:90620 CAPLUS
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    136:112659
TI
    Methods of inhibiting platelet activation with selective serotonin
    reuptake inhibitors and treatment of cardiovascular disease
IN
    Serebruany, Victor L.; Gurbel, Paul A.; O'Connor, Christopher M.
PA
    Heartdrug Research, LLC, USA
SO
    U.S. Pat. Appl. Publ., 22 pp., Cont.-in-part of U.S. 6,245,782.
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     135:29158
     The combination of a serotonin reuptake inhibitor and irindalone for the
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     treatment of depression and other affective disorders
IN
     Bogeso, Klaus Peter; Cremers, Thomas Ivo Franciscus Hubert
PA
     H. Lundbeck A/S, Den.
     PCT Int. Appl., 29 pp.
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              THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
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     ANSWER 5 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
L2
     2001:434808 CAPLUS
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     135:41033
ΤI
     The combination of a serotonin reuptake inhibitor and a 5-HT2C antagonist,
     inverse agonist or partial agonist
IN
     Cremers, Thomas Ivo Franciscus Hubert; Wikstroem, Hakan Wilhelm; Den Boer,
     Johan Antonie; Bosker, Fokko Jan; Westerink, Bernard Hendrik Cornelis;
     Bogeso, Klaus Peter; Hogg, Sandra; Mork, Arne
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     PCT Int. Appl., 29 pp.
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     ANSWER 6 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2001:185565 CAPLUS
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     134:217211
     Methods of using rapid-onset selective serotonin reuptake inhibitors for
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     treating sexual dysfunction
IN
     Thor, Karl Bruce
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     Eli Lilly and Co., USA
     PCT Int. Appl., 54 pp.
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L2
ΑN
     2000:98327 CAPLUS
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     132:146650
     Treating depression with a combination of a serotonin uptake inhibitor, a
ΤI
     5-HT1A presynaptic antagonist, and a 5-HT1A agonist
IN
     Depoortere, Henri
PA
     Sanofi-Synthelabo, Fr.
SO
     PCT Int. Appl., 36 pp.
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      ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
      1995:733398 CAPLUS
ΑN
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      123:102797
ΤI
     Treatment of tobacco withdrawal symptoms
IN
     Johnson, Kristine Hagen
PA Lilly, Eli, and Co., USA
SO S. African, 60 pp.
     CODEN: SFXXAB
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     ANSWER 9 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
L2
AN
      1994:528898 CAPLUS
DN
      121:128898
      Synthesis of [11C]dapoxetine.cntdot.HCl, a serotonin re-uptake inhibitor:
      Biodistribution in rat and preliminary PET imaging in the monkey
ΑU
      Livni, E.; Satterlee, Winston; Robey, Roger L.; Alt, Charles A.; Van
     Meter, Elden E.; Babich, John W.; Wheeler, William J.; O'Bannon, Douglas
      D.; Thrall, James H.; et al.
CS
     Harv. Med. Sch., Mass. Gen. Hosp., Boston, MA, 02114, USA
     Nuclear Medicine and Biology (1994), 21(4), 669-75
     CODEN: NMBIEO; ISSN: 0883-2897
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     ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
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     1994:482740 CAPLUS
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     Preparation of intermediates to 1-phenyl-3-(naphthalenyloxy)propanamines
     Alt, Charles A.; Robey, Roger L.; Van, Meter Eldon E.
IN
PA
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L2
ΆN
     1994:235345 CAPLUS
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TI
     Disposition of 14C-dapoxetine in rats: complementary experiments with
     whole-body autoradiographic and tissue dissection techniques
     Bernstein, J. R.; Manzione, B. M.; Pohland, R. C.; Franklin, R. B.
ΑU
     Lilly Res. Lab., Dep. Drug Metab. and Disposition, Indianapolis, IN,
CS
     46285, USA
     Biopharmaceutics & Drug Disposition (1994), 15(2), 137-50
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     CODEN: BDDID8; ISSN: 0142-2782
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     ANSWER 12 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1993:204601 CAPLUS
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TΙ
     Determination of dapoxetine, an investigational agent with the potential
     for treating depression, and its mono- and di-desmethyl metabolites in
     human plasma using column-switching high-performance liquid chromatography
ΑU
     Hamilton, Cristi L.; Cornpropst, J. David
     Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA
CS
SO
     Journal of Chromatography, Biomedical Applications (1993), 612(2), 253-61
     CODEN: JCBADL; ISSN: 0378-4347
DT
     Journal
     English
LΑ
L2
     ANSWER 13 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
     1992:255284 CAPLUS
AN
DN
     116:255284
TI
     A chiral synthesis of dapoxetine hydrochloride, a serotonin reuptake
     inhibitor, and its 14C isotopomer
ΑU
     Wheeler, William J.; O'Bannon, Douglas D.
     Lilly Corp. Cent., Eli Lilly and Co., Indianapolis, IN, 46285, USA
CS
     Journal of Labelled Compounds and Radiopharmaceuticals (1992), 31(4),
SO
     305-15
     CODEN: JLCRD4; ISSN: 0362-4803
DT
     Journal
LA
     English
OS
     CASREACT 116:255284
L2
    ANSWER 14 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    1989:114467 CAPLUS
DN
    110:114467
TI
    Preparation of 1-phenyl-3-(naphthalenyloxy)propanamines as serotonin
IN
     Robertson, David Wayne; Thompson, Dennis Charles; Wong, David Taiwai
     Lilly, Eli, and Co., USA
PΑ
     Eur. Pat. Appl., 38 pp.
SO
     CODEN: EPXXDW
DT
     Patent
LA
    English
FAN. CNT 1
    PATENT NO.
                    KIND DATE
                                          APPLICATION NO. DATE
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                           -----
PΙ
    EP 288188
                     A1
                           19881026
                                          EP 1988-303177 19880408
                   B1
                         19911016
    EP 288188
        R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
    IL 85988
                     A1 19920818 IL 1988-85988
                                                           19880406
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CA 1329937
                      A1
                           19940531
                                          CA 1988-563374
                                                           19880406
    AU 8814335
                      A1
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                      B4
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     DK 8801882
                      Α
                           19890112
                                          DK 1988-1882
                                                           19880407
     DK 170637
                      В1
                           19951120
     ZA 8802418
                      Α
                           19891227
                                          ZA 1988-2418
                                                           19880407
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                      Α
                           19881026
                                          CN 1988-102018
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                           19930317
     HU 50316
                      A2
                           19900129
                                          HU 1988-1790
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     HU 204767
                      В
                           19920228
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                      A3
                           19900530
                                          SU 1988-4355511
                                                           19880408
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                      E
                           19911115
                                          AT 1988-303177
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     ES 2045109
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                           19940116
                                          ES 1988-303177
                                                           19880408
     US 5135947
                      Α
                           19920804
                                          US 1990-561492
                                                           19900801
PRAI US 1987-36534
                           19870409
     EP 1988-303177
                           19880408
    US 1988-191465
                           19880509
    US 1989-372149
                           19890626
OS
    MARPAT 110:114467
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=> d 12 9 all

- L2 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:528898 CAPLUS
- DN 121:128898
- TI Synthesis of [11C]dapoxetine.cntdot.HCl, a serotonin re-uptake inhibitor: Biodistribution in rat and preliminary PET imaging in the monkey
- AU Livni, E.; Satterlee, Winston; Robey, Roger L.; Alt, Charles A.; Van Meter, Elden E.; Babich, John W.; Wheeler, William J.; O'Bannon, Douglas D.; Thrall, James H.; et al.
- CS Harv. Med. Sch., Mass. Gen. Hosp., Boston, MA, 02114, USA
- SO Nuclear Medicine and Biology (1994), 21(4), 669-75 CODEN: NMBIEO; ISSN: 0883-2897
- DT Journal
- LA English
- CC 8-9 (Radiation Biochemistry)

re-uptake mechanisms.

- Section cross-reference(s): 1
- AΒ [11C] Dapoxetine.cntdot.HCl, S-(+)-N, N-dimethyl-a-[2-(naphthalenyloxy)ethyl]benzenemethanamine hydrochloride, a potent serotonin re-uptake inhibitor was prepd. from its mono-Me precursor, S-(+)-N-methyl-a-[2-(naphthalenyloxy)ethyl]benzene methanamine hydrochloride. Biodistribution was detd. in rats at 5, 30 and 60 min after injection and preliminary PET studies were performed in a Rhesus monkey. 11CH3I was bubbled into a soln. of S-(+)-N-methyl-.alpha.-[2-(naphthalenyloxy)ethyl]benzene methanamine hydrochloride (3.0 mg in DMSO) and the mixt. was heated at 110.degree.C for 8 min. [11C]Dapoxetine.cntdot.HCl was purified by HPLC on a C18 cartridge eluted with MeOH: phosphate buffer, pH 7.2(75:25) with a 10% yield (end of synthesis). The time required for the synthesis was 40 min from the end of bombardment. Radiochem. purity of the final product was >99% and specific activity was routinely >400 mCi/.mu.mol [EOS]. biodistribution studies the highest concn. (%ID/g) of dapoxetine.cntdot.HCl was detected in lung: 4.56 (5 min), 1.28 (30 min) and 0.67 (60 min). Brain accumulation was 0.76 (5 min), 0.46 (30 min) and 0.27 (60 min). Preliminary PET studies demonstrated significant displaceable binding in the cerebral cortex and subcortical gray matter. These results demonstrate that [11C]dapoxetine.cntdot.HCl can be prepd. in high purity and may be useful for the in vivo evaluation of serotonin

```
ST
     carbon 11 dapoxetine brain PET
IT
     Brain, metabolism
        (dapoxetine metab. by, PET of, using carbon-11-dapoxetine)
IT
     Tomography
        (positron-emission, of dapoxetine metab. in brain, using
        carbgon-11-dapoxetine)
IT
     157166-72-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and biodistribution of and PET with, of dapoxetine metab. in
        brain)
IT
     156453-53-1P
                    157166-71-7P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and conversion to dapoxetine)
IT
     119356-77-3P, Dapoxetine
     RL: BPR (Biological process); BSU (Biological study, unclassified); SPN
     (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC
     (Process)
        (prepn. and metab. of, PET of, with carbon-11-dapoxetine)
=> d 12 7 all
     ANSWER 7 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
L2
     2000:98327 CAPLUS
ΑN
DN
     132:146650
TI
     Treating depression with a combination of a serotonin uptake inhibitor, a
     5-HT1A presynaptic antagonist, and a 5-HT1A agonist
IN
     Depoortere, Henri
PΑ
     Sanofi-Synthelabo, Fr.
SO
     PCT Int. Appl., 36 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     French
IC
     ICM A61K031-40
     ICS A61K031-135; A61K031-505; A61K031-135; A61K031-505
CC
     1-11 (Pharmacology)
     Section cross-reference(s): 63
FAN.CNT 1
     PATENT NO.
                    KIND DATE
                                          APPLICATION NO. DATE
                           -----
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                                           -----
     WO 2000006160
PI
                            20000210
                     A1
                                           WO 1999-FR1825 19990726
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG.
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     FR 2781671
                       A1
                            20000204
                                          FR 1998-9603
                                                            19980728
     AU 9949167
                       A1
                            20000221
                                          AU 1999-49167
                                                            19990726
PRAI FR 1998-9603
                       Α.
                            19980728
     WO 1999-FR1825
                       W
                            19990726
AΒ
     Pharmaceutical compns. are provided which contain a serotonin uptake
     inhibitor (e.g. fluoxetine), a 5-HT1A presynaptic antagonist (e.g.
     pindolol), and a 5-HT1A agonist (e.g. buspirone) as a combination product
     for simultaneous, sep., or prolonged use for treating various forms of
     depression.
     depression fluoxetine pindolol buspirone combination; serotoninergic S1A
ST
     presynaptic antagonist combination depression; S1A serotoninergic agonist
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combination depression

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IT
     5-HT agonists
     5-HT antagonists
        (5-HT1A; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Mental disorder
        (depression, major; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Mental disorder
        (depression, neurotic; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Sleep
        (disorder; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
ΙT
     Mental disorder
        (manic bipolar disorder; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Mental disorder
        (obsession-compulsion; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Drug delivery systems
        (oral; serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A
        agonist combination for treatment of depression)
ΙT
     Anxiety
        (panic; serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A
        agonist combination for treatment of depression)
ΙT
     Mental disorder
        (phobia, social; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
    Antidepressants
     Antipsychotics
     Anxiolytics
     Cognition enhancers
     Drug delivery systems
     Drug interactions
        (serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A
        agonist combination for treatment of depression)
TΤ
     Drug interactions
        (synergistic; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     50-67-9, Serotonin, biological studies
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (reuptake inhibitors; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
     13523-86-9, Pindolol
IT
                            36505-84-7, Buspirone 54739-18-3, Fluvoxamine
     54910-89-3, Fluoxetine 59729-33-8, Citalopram 61869-08-7, Paroxetine
    71827-56-0, Clemeprol
                             79617-96-2, Sertraline 83366-66-9, Nefazodone
     83455-48-5, Bromerguride
                                83928-76-1, Gepirone 87760-53-0, Tandospirone
     90494-76-1, SR 57746 92623-85-3, Milnacipran 93413-69-5, Venlafaxine
     95847-70-4, Ipsapirone 98206-10-1, Flesinoxan 99487-26-0, MCI 225
    102908-59-8, Binospirone 112922-55-1, Cericlamine 114298-18-9,
    Zalospirone 119356-77-3, Dapoxetine 127266-56-2, WY 50324
    132449-45-7, E4414 132449-46-8, Lesopitron 132501-12-3, WY 48723
    132873-35-9, LY 274600
                            133109-86-1, EMD 56551
                                                      135722-27-9, S 14671
    138298-79-0, Alnespirone 141318-62-9, LY 293284
                                                        142348-14-9,
    Pyricapirone 144340-02-3, CP 119333 144980-77-8, BAYx 3702
    145969-30-8, OPC 14523
                              146479-45-0, BMS 181101
                                                       146998-34-7, S 15535
    149494-37-1, Ebalzotan
                              149654-41-1, U 92016A
                                                      150019-94-6, BMS 184111
    150527-35-8, FG 5865 150710-80-8, HT 90B
                                                156896-33-2, LY 301317
    161178-10-5, YM 35992
162581-80-8, LY 297996
                            161312-09-0 162408-66-4, GR 103691
163521-12-8, EMD 68843 167933-07-5, Flibanserin
    177975-08-5, EMD 77697 179756-58-2, F 11440 208516-87-4, NAD 299
```

(serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A agonist combination for treatment of depression)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

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- (4) Eli, L; EP 0687472 A 1995 CAPLUS
- (5) Eli, L; EP 0792649 A 1997 CAPLUS
- (6) Majeroni, B; JOURNAL OF THE AMERICAN BOARD OF FAMILY PRACTICE, http://www.medscape.com/ABFP/JABFP/1998/v1 1.n02/fp1102.05.maje/fp1102.05.m aje.html abrege 1998, V11(2), P127 MEDLINE
- (7) Nemeroff, C; DEPRESSION AND ANXIETY 1996, P169
- (8) Perez, M; BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 1998, V8(23), P3423 CAPLUS
- (9) Puzantian, T; PHARMACOTHERAPY 1999, P205 CAPLUS
- (10) Redrobe, J; CNS SPECTRUMS 1999, V4/4, P73
- (11) Schweitzer, I; AUSTRALIAN AND NEW ZEALAND JOURNAL OF PSYCHIATRY 1997, V31(3) MEDLINE

=> d 12 12 all

- L2 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1993:204601 CAPLUS
- DN 118:204601
- TI Determination of dapoxetine, an investigational agent with the potential for treating depression, and its mono- and di-desmethyl metabolites in human plasma using column-switching high-performance liquid chromatography
- AU Hamilton, Cristi L.; Cornpropst, J. David
- CS Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA
- SO Journal of Chromatography, Biomedical Applications (1993), 612(2), 253-61 CODEN: JCBADL; ISSN: 0378-4347
- DT Journal
- LA English
- CC 1-1 (Pharmacology)
- AB A column-switching high-performance liq. chromatog. (HPLC) method is described for the detn. of dapoxetine and its mono- and di-desmethyl metabolites in human plasma. The analytes, including an internal std., were extd. from plasma at basic pH with hexane-Et acetate. The org. ext. was evapd. to dryness and the residue reconstituted with acetonitrile. The analytes were sepd. from late-eluting endogenous substances on a Zorbax RX-C8 pre-column. The front-cut fraction contg. the analytes was further sepd. on a second RX-C8 column. The analytes were detected by their native fluorescence, using excitation and emission wavelengths of 230 and 330 nm, resp. The limit of quantitation was detd. to be 20 ng/mL, and the response was linear from 20 to 200 ng/mL. The method has been successfully applied to human plasma samples in a Phase I study.
- ST dapoxetine metabolite detn blood HPLC; liq chromatog dapoxetine metabolite blood
- IT Blood analysis
 - (dapoxetine and its metabolites detn. in human, by HPLC)
- IT Chromatography, column and liquid

```
(high-performance, of dapoxetine and its metabolites, in human blood
        detn.)
IT
     147199-39-1
                   147199-40-4
     RL: ANT (Analyte); ANST (Analytical study)
        (detn. of, as dapoxetine metabolite, in blood of humans by HPLC)
IT
     119356-77-3, Dapoxetine
     RL: ANT (Analyte); ANST (Analytical study)
        (detn. of, in blood of humans by HPLC)
=> d 12 13 all
     ANSWER 13 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     1992:255284 CAPLUS
DN
     116:255284
TΤ
     A chiral synthesis of dapoxetine hydrochloride, a serotonin reuptake
     inhibitor, and its 14C isotopomer
ΑU
     Wheeler, William J.; O'Bannon, Douglas D.
CS
     Lilly Corp. Cent., Eli Lilly and Co., Indianapolis, IN, 46285, USA
     Journal of Labelled Compounds and Radiopharmaceuticals (1992), 31(4),
SO
     305-15
     CODEN: JLCRD4; ISSN: 0362-4803
DT
     Journal
     English
LΑ
CC
     25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
     Section cross-reference(s): 1
OS
     CASREACT 116:255284
AΒ
     The title isotopomer was prepd. by a chiral synthesis, starting with
     (R)-PhCHRNH(tert-Boc) (I, R = CO2H). Borane redn., followed by activation
     of the resulting alc. as its mesylate, provided I (R = CH2OMs). The
     radiolabel was introduced by reaction of the mesylate with sodium
     cyanide-[14C]. The desired product was then elaborated from the nitrile
     via a 5-step synthesis in an overall 19.5% radiochem. yield.
ST
     dapoxetine carbon labeled chiral synthesis
IΤ
     126568-44-3P
                    141625-50-5P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and conversion to carboxylic acid)
IT
     102089-75-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and cyanation of)
     102089-74-7P
IT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and mesylation of)
ΙT
     82769-76-4P
                   141625-52-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and methylation of)
ΙT
     82769-75-3P
                   141625-53-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and reaction with fluoronaphthalene)
IT
     83649-47-2P
                  141625-51-6P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and redn. of)
ΙT
                    141625-54-9P
    129938-20-1P
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
IΤ
    321-38-0, 1-Fluoronaphthalene
    RL: RCT (Reactant); RACT (Reactant or reagent)
```

```
(reaction of, with aminophenylpropoxide)
IT
    33125-05-2
    RL: RCT (Reactant); RACT (Reactant or reagent)
=> d 12 14 all
L2
    ANSWER 14 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    1989:114467 CAPLUS
DN
    110:114467
ΤI
    Preparation of 1-phenyl-3-(naphthalenyloxy) propanamines as serotonin
    inhibitors
    Robertson, David Wayne; Thompson, Dennis Charles; Wong, David Taiwai
ΙN
PA
    Lilly, Eli, and Co., USA
    Eur. Pat. Appl., 38 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
IC
    ICM C07C093-00
    ICS C07C093-14; C07D317-58; A61K031-135
CC
    25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
    Section cross-reference(s): 1, 63
FAN.CNT 1
    PATENT NO.
                    KIND DATE
                                         APPLICATION NO.
                                                         DATE
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PΙ
    EP 288188
                     A1
                          19881026
                                         EP 1988-303177
                                                         19880408
    EP 288188
                    В1
                          19911016
        R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
    IL 85988 A1
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    AU 8814335
                     A1
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                                        AU 1988-14335
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                     A2
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                                        DK 1988-1882
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    DK 170637
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                 A
A
B
A2
                     A
    ZA 8802418
                          19891227
                                         ZA 1988-2418
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    CN 88102018
                          19881026
                                        CN 1988-102018
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    CN 1020093
                          19930317
    HU 50316
                    A2 19900129
                                        HU 1988-1790
                                                         19880408
    HU 204767
                   В
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    SU 1568886
                   A3 19900530
                                        SU 1988-4355511 19880408
    AT 68473
                    Ε
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                                        AT 1988-303177
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    ES 2045109
                    Т3
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                                         ES 1988-303177
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    US 5135947
                          19920804
                                        US 1990-561492
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PRAI US 1987-36534
                          19870409
    EP 1988-303177
                          19880408
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19880509

19890626

US 1988-191465

US 1989-372149

MARPAT 110:114467

OS

GΙ

AB The title compds. [I; R1, R2 = H, Me; R3 = H, halo, C1-4 alkyl, C1-3 alkoxy, CF3; R4 = H, halo, C1-4 alkyl, C1-3 alkoxy, CF3; R4 = H, halo, C1-4 alkyl, C1-3 alkoxy, CF3; R42 = OCH20; m = 1, 2] and their stereoisomers and pharmaceutically acceptable salts were prepd. for selective inhibition of serotonin uptake in mammals, useful as antidepressants. PhCH2CO2H was alkylated with 2-(4-methyl-1naphthalenoxy) ethyl chloride by using BuLi in HMPA to give carboxyphenylnaphthalenyloxypropane II (R5 = CO2H) which was treated in acetone with ClCO2Et in the presence of Et3N and then with NaN3. The resulting acid azide was rearranged to give II (R5 = NCO) which was hydrolyzed to give II (R5 = NH2) (III). Reductive alkylation of the latter with CH2O/NaBH3CN in MeCN gave II (R5 = NMe2) (IV). Serotonin uptake by rat cerebral cortex synaptosome prepns. was inhibited 50% by 25 mM IV.oxalate. ST

ST naphthalenyloxyphenylpropanamine prepn serotonin uptake inhibitor; antidepressant naphthalenyloxyphenylpropanamine prepn

IT Antidepressants

((naphthalenyloxy)phenylpropanamines)

IT 119357-38-9 119357-40-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(antidepressant pharmaceutical compn. contg.)

IT 325-89-3P 119357-41-4P 119357-42-5P 119357-43-6P 119357-44-7P 119357-45-8P 119357-46-9P 119357-47-0P 119357-48-1P 119357-49-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of antidepressants)

```
119356-76-2P 119356-77-3P
TΤ
                                 119356-78-4P
                                                 119356-79-5P
     119356-80-8P
                    119356-81-9P
                                   119356-82-0P
                                                   119356-83-1P
                                                                  119356-84-2P
     119356-86-4P
                    119356-88-6P
                                   119356-90-0P
                                                   119356-92-2P
                                                                  119356-94-4P
     119356-96-6P
                    119356-98-8P
                                   119357-00-5P
                                                   119357-02-7P
                                                                  119357-04-9P
     119357-06-1P
                    119357-07-2P
                                   119357-09-4P
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     119357-15-2P
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     119374-91-3P
                    119374-93-5P
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RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as serotonin uptake inhibitor)

T4-89-5, Methylamine, reactions 90-15-3, 1-Naphthalenol 103-82-2, Phenylacetic acid, reactions 141-82-2, Malonic acid, reactions 321-38-0, 1-Fluoronaphthalene 459-57-4, 4-Fluorobenzaldehyde 541-41-3, Ethyl chloroformate 637-59-2, (3-Bromopropyl)benzene 3570-58-9, 2-Chloroethyl methanesulfonate 10240-08-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in prepn. of antidepressants)

IT 50-67-9, Serotonin, uses and miscellaneous RL: USES (Uses)

(uptake of, by brain, (naphthalenyloxy)phenylpropanamines inhibition of)

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6406 REUPTAKE
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L7
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             27 L7 AND L5
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L1
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              14 S L1
L3
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L4
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L5
            1881 S E1-E10
L6
              18 S L4 AND L5
            1329 S SEROTONIN REUPTAKE INHIBITOR
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L8
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=> s 18 not 16
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=> d 19 1-9
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ΑN
     2003:376842 CAPLUS
     138:385297
DN
TT
     Methods for treating depression and other CNS disorders using
     enantiomerically enriched desmethyl- and didesmethyl- metabolites of
     citalopram
     Bush, Larry R.; Currie, Mark G.; Senanayake, Chris H.; Fang, Kevin Q.
IN
PA
     Sepracor, Inc., USA
     PCT Int. Appl., 58 pp.
     CODEN: PIXXD2
DT
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LΑ
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                                              APPLICATION NO. DATE
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               THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L9
     ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2003:6424 CAPLUS
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     138:49845
     Treatment of antidepressant-associated sexual dysfunction with sildenafil.
TΙ
     A randomized controlled trial
     Nurnberg, H. George; Hensley, Paula L.; Gelenberg, Alan J.; Fava,
AU
     Maurizio; Lauriello, John; Paine, Susan
     Department of Psychiatry, Health Sciences Center, University of New Mexico
CS
     School of Medicine, Albuquerque, NM, USA
     JAMA, the Journal of the American Medical Association (2003), 289(1),
SO
     CODEN: JAMAAP; ISSN: 0098-7484
PΒ
     American Medical Association
DT
     Journal
LΑ
     English
              THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 71
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L9
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AN
     2002:814103 CAPLUS
DN
     137:310821
ΤI
     Preparation of phenyl heterocyclyl ether derivatives as potent and
     selective inhibitors of serotonin re-uptake
IN
     Adam, Mavis Diane; Andrews, Mark David; Gymer, Geoffrey Edward; Hepworth,
     David; Howard, Harry Ralph, Jr.; Middleton, Donald Stuart; Stobie, Alan
PA
     Pfizer Limited, UK; Pfizer Inc.
SO
     PCT Int. Appl., 107 pp.
     CODEN: PIXXD2
DT
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     ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
Ь9
AN
     2002:797244 CAPLUS
DN
     138:331028
TI
     Escitalopram
ΑU
     Burke, William J.
CS
     University of Nebraska Department of Psychiatry, Omaha, NE, 68198-5580,
     Expert Opinion on Investigational Drugs (2002), 11(10), 1477-1486
SO
     CODEN: EOIDER; ISSN: 1354-3784
PΒ
     Ashley Publications Ltd.
DT
     Journal; General Review
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English
RE.CNT 45
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     2002:171851 CAPLUS
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     136:232110
     Preparation of phenoxybenzylamines as selective serotonin re-uptake
     inhibitors
ΙN
     Adam, Mavis Diane; Andrews, Mark David; Elliott, Mark Leonard; Gymer,
     Geoffrey Edward; Hepworth, David; Howard, Harry Ralph, Jr.; Middleton,
     Donald Stuart; Stobie, Alan
     Pfizer Limited, UK; Pfizer Inc.
PA
     PCT Int. Appl., 110 pp.
     CODEN: PIXXD2
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              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
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L9
     ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
     2002:169117 CAPLUS
AN
DN
     136:216641
TI
     Preparation of phenoxyphenylheterocycles as selective serotonin reuptake
     inhibitors (SSRIs)
     Andrews, Mark David; Hepworth, David; Middleton, Donald Stuart; Stobie,
IN
     Alan
PA
     Pfizer Limited, UK; Pfizer Inc.
     Eur. Pat. Appl., 46 pp.
SO
     CODEN: EPXXDW
DT
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LΑ
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                      KIND DATE
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Ь9
ΑN
      2001:798041 CAPLUS
DN
      135:339276
ΤI
      Use of serotonin reuptake inhibitors for the treatment of depression
IN
      Druzgala, Pascal
      Aryx Therapeutics, USA
PΑ
      PCT Int. Appl., 15 pp.
SO
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LΑ
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     US 2003078284
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     MARPAT 135:339276
     ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
L9
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     2001:730683 CAPLUS
DN
     135:288572
     Preparation of diphenyl ether compounds as serotonin re-uptake inhibitors
TI
     Andrews, Mark David; Hepworth, David; Middleton, Donald Stuart; Stobie,
PA
     Pfizer Limited, UK; Pfizer Inc.
SO
     PCT Int. Appl., 158 pp.
     CODEN: PIXXD2
DT
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LA
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PATENT NO.
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      WO 2001072687
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          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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BG 106912
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                                                  BG 2002-106912
                                                                        20020709
NO 2002004663 A 20020927
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RE.CNT 7
                 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L9
      ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN
      2001:249924 CAPLUS
DN
      135:190265
      Effect on sexual function of long-term treatment with selective serotonin
TI
      reuptake inhibitors in depressed patients treated in primary care
ΑU
      Ekselius, Lisa; von Knorring, Lars
CS
      Department of Neuroscience, Psychiatry, University Hospital, Uppsala,
      S-751 85, Swed.
      Journal of Clinical Psychopharmacology (2001), 21(2), 154-160
SO
      CODEN: JCPYDR; ISSN: 0271-0749
PB
      Lippincott Williams & Wilkins
DT
      Journal
LA
      English
RE.CNT 25
                 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
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      ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN
      2001:249924 CAPLUS
DN
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TΙ
      Effect on sexual function of long-term treatment with selective serotonin
      reuptake inhibitors in depressed patients treated in primary care
ΑU
      Ekselius, Lisa; von Knorring, Lars
CS
      Department of Neuroscience, Psychiatry, University Hospital, Uppsala,
      S-751 85, Swed.
      Journal of Clinical Psychopharmacology (2001), 21(2), 154-160
SO
      CODEN: JCPYDR; ISSN: 0271-0749
PB
      Lippincott Williams & Wilkins
DT
      Journal
LΑ
      English
      1-11 (Pharmacology)
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CC

This study prospectively examd. the occurrence and severity of sexual AB dysfunction symptoms in depressed patients before and after 6 mo of treatment with selective serotonin reuptake inhibitors. The study was part of a randomized, double-blind, controlled trial of sertraline or citalopram in patients with a DSM-III-R major depressive disorder treated by general practitioners. Three hundred eight patients (221 women and 87 men) were assessed before and after 6 mo of treatment by means of the Montgomery-Asberg Depression Rating Scale and five items from the Utvalg for Kliniske Undersogelser (UKU) Side Effect Scale covering different aspects of sexual functioning. As measured by the UKU Side Effect Scale, sexual desire and mean total score improved in women, and sexual desire improved in men. Men reported no change in orgasmic dysfunction, erectile dysfunction, or mean total score, but there was a trend toward worsening of ejaculatory dysfunction. However, in the subgroup of women who reported no sexual problems before treatment, 11.8% reported decreased sexual desire, and 14.3% reported orgasmic dysfunction at week 24. The corresponding figures in the same subgroup of men were 16.7% and 18.9%, resp., and as many as 25% experienced ejaculatory dysfunction after 24 wk. There were no significant differences between sertraline and citalopram in the magnitude or frequency of adverse sexual side effects.

ST antidepressant serotonin reuptake inhibitor sex function adverse effect; sertraline citalogram sex function adverse effect

IT Antidepressants

Sex

Sexual behavior

(selective serotonin reuptake inhibitors effect on sexual function in depressed men and women)

IT 50-67-9, Serotonin, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study) (reuptake inhibitors; selective serotonin reuptake inhibitors effect on sexual function in depressed men and women)

IT 59729-33-8, Citalopram 79617-96-2, Sertraline

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(selective serotonin reuptake inhibitors effect on sexual function in depressed men and women)

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT RE

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(24) Wise, T; J Clin Psychiatry Update Monogr 1994, V1, P19
(25) Zajecka, J; Psychopharmacol Bull 1997, V33, P755 CAPLUS
=> d 19 8 all
     ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN
      2001:730683
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DN
      135:288572
ΤI
      Preparation of diphenyl ether compounds as serotonin re-uptake inhibitors
IN
     Andrews, Mark David; Hepworth, David; Middleton, Donald Stuart; Stobie,
PA
     Pfizer Limited, UK; Pfizer Inc.
     PCT Int. Appl., 158 pp.
SO
     CODEN: PIXXD2
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LΑ
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IC
     ICM C07C217-58
           C07C229-38; C07C237-28; C07C255-43; C07C255-59; C07C311-05;
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            C07C323-67; C07D207-12; C07D231-38; C07D233-61; C07D249-06;
            C07D249-08; C07D295-08; C07D295-18; A61K031-137
     25-9 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
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AΒ Title compds. I [wherein R1 and R2 = independently H or (cycloalkyl)alkyl; or R1 and R2 together with the N to which they are attached form an azetidine ring; R3 = independently CF3, OCF3, alkylthio, or alkoxy; n = 1-3; R4 and R5 = independently AX; A = CH:CH or (CH2)p; p = 0-2; X = H, halo, OH, alkoxy, NO2, CN, CHO, alkylthio, alkylsulfinyl, alkylsulfonyl, or (un) substituted carbamoyl, sulfamoyl, amino, carboxy, etc.; or pharmaceutically acceptable salts, solvates, or polymorphs thereof] were prepd. as monoamine re-uptake inhibitors, particularly as selective serotonin re-uptake inhibitors. For example, 4-(methylmercapto)phenol was coupled with 2-fluorobenzaldehyde using K2CO3 in DMF to give 2-[4-(methylsulfanyl)phenoxy]benzaldehyde (100%). The aldehyde was dissolved in THF, DCM, Me2NH.bul.HCl, and TEA, treated with NaBH(OAc)3, and converted to the salt with 1M HCl in Et2O to afford N, N-dimethyl-N-[2-[4-(methylsulfanyl)phenoxy]benzyl]amine.bul.HCl (84%). Coupling the salt with ClSO3H in CH2Cl2 at 0.degree. to 5.degree.C, followed by stepwise addn. of MeCN with POC13 and ammonia, produced the desired sulfonamide (II) in 61% yield. The latter showed serotonin re-uptake inhibition (SRI) activity with IC50 .ltoreq. 50 nM and was > 100-fold as potent in the inhibition of serotonin re-uptake than in the the inhibition of dopamine and noradrenaline re-uptake. I are useful in the treatment of disorders such as depression, attention deficit hyperactivity disorder, obsessive-compulsive disorder, post-traumatic stress disorder, substance abuse disorders, and sexual dysfunction, including premature ejaculation (no data).

diphenyl ether prepn serotonin reuptake
inhibitor; ether diphenyl prepn antidepressant; attention deficit
hyperactivity disorder treatment diphenyl ether prepn; obsessive
compulsive disorder treatment diphenyl ether prepn; posttraumatic stress
disorder treatment diphenyl ether prepn; substance abuse treatment
diphenyl ether prepn; sexual dysfunction treatment diphenyl ether prepn
IT Drugs of abuse

(abuse of, treatment; prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)

IT Mental disorder

(attention deficit hyperactivity disorder, treatment; prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)

IT Sexual behavior

(disorder, treatment; prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)

IT Stress, animal

(emotional, treatment of post-traumatic; prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)

IT Transport proteins

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(monoamine-transporting, modulator; prepn. of di-Ph ether compds. as

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serotonin re-uptake inhibitors)
IT
     Mental disorder
        (obsession-compulsion, treatment; prepn. of di-Ph ether compds. as
        serotonin re-uptake inhibitors)
ΙT
     Sexual behavior
        (premature ejaculation, treatment; prepn. of di-Ph ether
        compds. as serotonin re-uptake inhibitors)
ΙT
     Antidepressants
        (prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)
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     1-(Methylsulfanyl)-4-nitro-2-(trifluoromethyl)benzene
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     4-(Methylsulfanyl)-3-(trifluoromethyl)aniline
                                                      78940-67-7P
                                                                    95920-60-8P,
     5-(Allyloxy)-1,3-benzoxathiol-2-one
                                          127087-14-3P, 4-Methoxy-3-
                              170282-24-3P, 5-(Benzyloxy)-2-sulfanylphenol
     (methylsulfanyl)phenol
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     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (intermediate; prepn. of di-Ph ether compds. as serotonin re-uptake
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     (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
     (Reactant or reagent); USES (Uses)
        (prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)
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                                   364324-37-8P
                                                  364324-38-9P
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     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)
IT
     50-67-9, Serotonin, biological studies 51-41-2, Noradrenaline 51-61-6,
     Dopamine, biological studies
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)
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     79-06-1, Acrylamide, reactions 98-17-9, 3-(Trifluoromethyl)phenol
     105-56-6
              106-41-2, 4-Bromophenol 106-95-6, Allyl bromide, reactions
     109-85-3, 2-Methoxyethylamine
                                    110-91-8, Morpholine, reactions
     288-32-4, Imidazole, reactions
                                      288-36-8, 1H-1,2,3-Triazole
                                                                   400-74-8,
     2-Fluoro-5-nitrobenzotrifluoride
                                        402-45-9, 4-(Trifluoromethyl)phenol
     446-52-6, 2-Fluorobenzaldehyde
                                      598-41-4, Glycinamide
                                                              771-61-9,
     Pentafluorophenol
                        827-99-6, 3-(Trifluoromethoxy)phenol
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     4-(Trifluoromethoxy)phenol
                                 1073-72-9, 4-(Methylmercapto)phenol
     1820-80-0, 3-Amino-1H-pyrazole
                                    2386-58-5, Vinylsulfonamide
                            2646-90-4, 2,5-Difluorobenzaldehyde
     Cyclopropylmethanamine
                             2799-21-5
     (S)-2-Amino-1-propanol
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     6-Hydroxy-1,3-benzoxathiol-2-one
                                      6361-21-3, 2-Chloro-5-nitrobenzaldehyde
     7735-56-0, 5-Hydroxy-1,3-benzoxathiol-2-one 10147-37-2, 2-Propylsulfonyl
     chloride
                           16588-02-6, 2-Chloro-5-nitrobenzonitrile
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     2-Methoxyethylsulfonyl chloride 57848-46-1, 4-Bromo-2-fluorobenzaldehyde
     71924-62-4, 2-Fluoro-4,5-dimethoxybenzaldehyde 93777-26-5,
     5-Bromo-2-fluorobenzaldehyde
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     112887-25-9
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reactant; prepn. of di-Ph ether compds. as serotonin re-uptake
        inhibitors)
RE.CNT
             THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
(1) Akzo; EP 0516234 A 1992 CAPLUS
(2) Buckley, A; US 5334748 A 1994 CAPLUS
(3) Kametani, T; JOURNAL OF THE CHEMICAL SOCIETY, SECTION C: ORGANIC CHEMISTRY
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364322-27-0P

364322-30-5P

364322-31-6P

- 1968, 23, P2877 CAPLUS
- (4) Manske, R; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1950, V72(10), P4797
- (5) Pfizer; EP 0415613 A 1991 CAPLUS

364322-25-8P

364322-26-9P

- (6) Pfizer Products; WO 0050380 A 2000 CAPLUS
- (7) Yeager, G; SYNTHESIS 1995, 1, P28 CAPLUS

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L1
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L2
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L3
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L6
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L7
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L13 ANSWER 20 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    2001:732456 CAPLUS
DN
    136:47860
    Venlafaxine extended-release: A review of its use in the management of
ΤI
    major depression
ΑU
    Wellington, Keri; Perry, Caroline M.
    Adis International Limited, Auckland, N. Z.
CS
SO
    CNS Drugs (2001), 15(8), 643-669
    CODEN: CNDREF; ISSN: 1172-7047
PΒ
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    Journal; General Review
DT
LΑ
    English
RE.CNT 125
             THERE ARE 125 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L13 ANSWER 21 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
    2001:666884 CAPLUS
DN
    135:190426
TI
    Use of antidepressants for treatment of premature ejaculation
IN
    Claro do Nascimento, Edson
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    Brazil
SO
    Braz. Pedido PI, 12 pp.
    CODEN: BPXXDX
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LΑ
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19980826

- PRAI BR 1998-6330 19980826 L13 ANSWER 22 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN AN 2001:429363 CAPLUS 135:251859 DN TIAntidepressants and ejaculation: a double-blind, randomized, placebo-controlled, fixed-dose study with paroxetine, sertraline, and nefazodone ΑU Waldinger, Marcel D.; Zwinderman, Aeilko H.; Olivier, Berend CS Department of Psychiatry and Neurosexology, Levenburg Hospital, The Hague, 2545 CH, Neth. Journal of Clinical Psychopharmacology (2001), 21(3), 293-297 SO CODEN: JCPYDR; ISSN: 0271-0749 PB Lippincott Williams & Wilkins DTJournal English LΑ RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L13 ANSWER 23 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN AN 2001:424774 CAPLUS DN 136:177788 ΤI Comparison of peripheral inhibitory effects of clomipramine with selective serotonin re-uptake inhibitors on contraction of vas deferens: In vitro and in vivo studies Seo, Kyung Keun; Kim, Sae Chul; Lee, Moo Yeol ΑU Department of Urology and Physiology, College of Medicine, Chung-Ang CS University, Seoul, S. Korea Journal of Urology (Hagerstown, MD, United States) (2001), 165(6, Pt. 1), SO 2110-2114 CODEN: JOURAA; ISSN: 0022-5347 PB Lippincott Williams & Wilkins DT Journal LΑ English RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L13 ANSWER 24 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN 2001:341653 CAPLUS AN DN 135:31573 TINew reproductive anomalies in fruitless-mutant Drosophila males: extreme lengthening of mating durations and infertility correlated with defective serotonergic innervation of reproductive organs ΑU Lee, Gyunghee; Villella, Adriana; Taylor, Barbara J.; Hall, Jeffrey C. Department of Biology, Brandeis University, Waltham, MA, 02454, USA CS Journal of Neurobiology (2001), 47(2), 121-149 CODEN: JNEUBZ; ISSN: 0022-3034 PB John Wiley & Sons, Inc. DTJournal LA English RE.CNT 92 THERE ARE 92 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 25 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN L13
- AN 2001:331316 CAPLUS
- DN 134:320885
- TI Administration of 5-HT receptor agonists and antagonists to treat premature ejaculation
- IN Smith, William L.; Doherty, Paul C., Jr.; Place, Virgil A.
- PA Vivus, Inc., USA
- SO U.S., 13 pp., Cont.-in-part of U.S. 6,037,360. CODEN: USXXAM

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DT
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                                                             DATE
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     AU 742339
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PRAI US 1997-958571
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L13 ANSWER 26 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
     2001:209893 CAPLUS
ΆN
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     135:175118
     Incidence of sexual dysfunction associated with antidepressant agents: A
TI
     prospective multicenter study of 1022 outpatients
ΑU
     Montejo, Angel L.; Llorca, Gines; Izquierdo, Juan A.; Rico-Villademoros,
CS
     University Hospital of Salamanca, University of Salamanca, Salamanca,
SO
     Journal of Clinical Psychiatry (2001), 62 (Suppl. 3), 10-21
     CODEN: JCLPDE; ISSN: 0160-6689
     Physicians Postgraduate Press, Inc.
PR
DT
     Journal
LA
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RE.CNT 76
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L13 ANSWER 27 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
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     2001:164143 CAPLUS
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     134:217494
ΤI
     Selectively bred male rat lines differ in naive and experienced sexual
     behavior
AU
     Sura, A.; Overstreet, D. H.; Marson, L.
     Department of Urology, University of North Carolina, Chapel Hill, NC,
CS
     27599, USA
     Physiology & Behavior (2001), 72(1/2), 13-20
SO
     CODEN: PHBHA4; ISSN: 0031-9384
PΒ
     Elsevier Science Inc.
DT
     Journal
LΑ
     English
RE.CNT 42
              THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L13
    ANSWER 28 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
     2001:50017 CAPLUS
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DN
     135:116245
ΤI
     Venlafaxine extended-release: A review of its clinical potential in the
     management of generalized anxiety disorder
ΑU
     Balfour, Julia A. Barman; Jarvis, Blair
CS
     Adis International Limited, Auckland, N. Z.
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CODEN: CNDREF; ISSN: 1172-7047
 PB
      Adis International Ltd.
DT
      Journal; General Review
LA
      English
RE.CNT 119
               THERE ARE 119 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L13 ANSWER 29 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
     2001:49512 CAPLUS
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      134:172981
TΙ
     Effect of serotonin uptake inhibitors on serotonin
     metabolism in the hypothalamus of freely moving rats
     Song, Yun Seob; Yoon, Se Na; Jung, Dong Sik; Yoo, Sang Hee; Ryu, Hyong
ΑU
      Kyun; Kim, Hyung Gun
     Department of Urology, College of Medicine, Soonchunhyang University,
CS
      Seoul, 140 - 743, S. Korea
     Korean Journal of Physiology & Pharmacology (2000), 4(6), 439-444
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     CODEN: KJPPFS; ISSN: 1226-4512
PB
     Korean Physiological Society
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RE.CNT 26
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              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L13 ANSWER 30 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
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     2000:834273 CAPLUS
DN
     134:37414
ΤI
     Characterization of p-chloroamphetamine-induced penile erection and
     ejaculation in anesthetized rats
ΑU
     Yonezawa, Akihiko; Watanabe, Chizuko; Ando, Ryuichiro; Furuta, Seiichi;
     Sakurada, Shinobu; Yoshimura, Hiroyuki; Iwanaga, Toshihiko; Kimura, Yukio
     Department of Physiology and Anatomy, Tohoku Pharmaceutical University,
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     Sendai, 981-8558, Japan
     Life Sciences (2000), 67(25), 3031-3039
CODEN: LIFSAK; ISSN: 0024-3205
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PB
     Elsevier Science Inc.
DT
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LА
     English
RE.CNT 18
              THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L13 ANSWER 31 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
     2000:821422 CAPLUS
ΑN
DN
     134:95578
ΤI
     The neurobiology of sexual function
ΑU
     Meston, Cindy M.; Frohlich, Penny F.
CS
     Department of Psychology, University of Texas, Austin, USA
     Archives of General Psychiatry (2000), 57(11), 1012-1030
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     CODEN: ARGPAQ; ISSN: 0003-990X
PB
     American Medical Association
DT
     Journal; General Review
     English
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              THERE ARE 299 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 32 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
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     2000:709284 CAPLUS
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     134:25652
     Serotonin and sexual behavior in the male rabbit
ΤI
     Paredes, R. G.; Contreras, J. L.; Agmo, A.
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Escuela de Psicologia, Universidad Anahuac, Mexico City, Mex.

Journal of Neural Transmission (2000), 107(7), 767-777

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     Springer-Verlag Wien
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LA
     English
              THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    ANSWER 33 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
L13
     2000:660962 CAPLUS
AN
     133:305842
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TI
     Acute low doses of melatonin restore full sexual activity in impotent male
     rats
ΑU
     Drago, F.; Busa, L.
     Institute of Pharmacology, Faculty of Medicine, University of Catania
CS
     Medical School, Catania, 95125, Italy
SO
     Brain Research (2000), 878(1,2), 98-104
     CODEN: BRREAP; ISSN: 0006-8993
PB
     Elsevier Science B.V.
DT
     Journal
     English
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L13 ANSWER 34 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
     2000:628107 CAPLUS
AN
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     133:222454
     Preparation of 4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-
ΤI
     naphthalenamines for treatment of disorders involving regulation of
     monoamine transporter function.
IN
     Middleton, Donald Stuart; Stobie, Alan
PA
     Pfizer Limited, UK; Pfizer Inc.
     PCT Int. Appl., 140 pp.
SO
     CODEN: PIXXD2
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     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                          APPLICATION NO. DATE
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PΙ
     WO 2000051972
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                                           JP 2000-602200
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PRAI GB 1999-4691
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     GB 1999-21314
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     WO 2000-IB182
                      W
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              THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
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AN
     2000:530570 CAPLUS
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CODEN: JNTRF3; ISSN: 1435-1463

- DN 133:217588
- TI Stimulation of **ejaculatory** behavior by the 5-HT1B receptor antagonist isamoltane in citalogram-pretreated male rats
- AU Ahlenius, Sven; Larsson, Knut
- CS Department of Physiology and Pharmacology, Karolinska Institute, Stockholm, SE-171 77, Swed.
- SO Pharmacy and Pharmacology Communications (2000), 6(7), 317-320 CODEN: PPCOFN; ISSN: 1460-8081
- PB Royal Pharmaceutical Society of Great Britain
- DT Journal
- LA English
- RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 36 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2000:382813 CAPLUS
- DN 133:165
- TI New directions in the treatment of antidepressant-induced sexual dysfunction
- AU Rothschild, Anthony J.
- CS Department of Psychiatry, University of Massachusetts Medical School, Worcester, MA, USA
- SO Clinical Therapeutics (2000), 22(Suppl. A), A42-A61 CODEN: CLTHDG; ISSN: 0149-2918
- PB Excerpta Medica, Inc.
- DT Journal; General Review
- LA English
- RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 37 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2000:381064 CAPLUS
- DN 133:247195
- TI Inhibitory effect of serotonergic drugs on contractile response of the rat vas deferens to electrical nerve stimulation: in vivo study
- AU Kim, Sae Chul; Seo, Kyung Keun; Han, Jun Hyun; Lee, Moo Yeol
- CS Department of Urology and Physiology, Chung-Ang University, Seoul, 140-757, S. Korea
- SO Journal of Urology (Baltimore) (2000), 163(6), 1988-1991 CODEN: JOURAA; ISSN: 0022-5347
- PB Lippincott Williams & Wilkins
- DT Journal
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- RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 38 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2000:155970 CAPLUS
- DN 132:289035
- TI Melatonin enhances sexual behavior in the male rat
- AU Brotto, L. A.; Gorzalka, B. B.
- CS Department of Psychology, The University of British Columbia, Vancouver, BC, Can.
- SO Physiology & Behavior (2000), 68(4), 483-486 CODEN: PHBHA4; ISSN: 0031-9384
- PB Elsevier Science Inc.
- DT Journal
- LA English
- RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
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ΑN
     1999:775955 CAPLUS
DN
     132:117832
TI
     Acute low doses of melatonin stimulate rat sex behavior: the role of
     serotonin neurotransmission
ΑIJ
     Drago, F.; Busa, L.; Benelli, A.; Bertolini, A.
CS
     Viale Andrea Doria 6, Institute of Pharmacology, University of Catania
     Medical School, Catania, 95125, Italy
     European Journal of Pharmacology (1999), 385(1), 1-6
SO
     CODEN: EJPHAZ; ISSN: 0014-2999
PB
     Elsevier Science B.V.
DT
     Journal
LΑ
     English
RE.CNT 29
              THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L13 ANSWER 40 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
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     1999:635861 CAPLUS
DN
     131:306687
     Synergistic actions of the 5-HT1A receptor antagonist WAY-100635 and
TI
     citalopram on male rat ejaculatory behavior
     Ahlenius, Sven; Larsson, Knut
ΑU
CS
     Department of Physiology and Pharmacology, Karolinska Institute,
     Stockholm, SE-171 77, Swed.
SO
     European Journal of Pharmacology (1999), 379(1), 1-6
     CODEN: EJPHAZ; ISSN: 0014-2999
PB
     Elsevier Science B.V.
DT
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     English
RE.CNT 28
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L13 ANSWER 41 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
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DN
     131:208360
     Paroxetine: A review of its use in social anxiety disorder
ΤI
ΑU
     Prakash, Amitabh; Foster, Rachel H.
CS
     Adis International Limited, Auckland, N. Z.
SO
     CNS Drugs (1999), 12(2), 151-169
     CODEN: CNDREF; ISSN: 1172-7047
PB
     Adis International Ltd.
DΤ
     Journal; General Review
LΑ
     English
RE.CNT 101
              THERE ARE 101 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L13 ANSWER 42 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1999:476697 CAPLUS
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     131:252438
ΤI
     Chronic fluoxetine inhibits sexual behavior in the male rat: reversal with
     oxytocin
     Cantor, James M.; Binik, Yitzchak M.; Pfaus, James G.
ΑU
     Department of Psychology, McGill University, Montreal, QC, Can.
CS
SO
     Psychopharmacology (Berlin) (1999), 144(4), 355-362
     CODEN: PSCHDL; ISSN: 0033-3158
PB
     Springer-Verlag
DT
     Journal
LΑ
     English
RE.CNT 59
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- DN 131:194676
- TI 5-Hydroxytryptamine2C receptors on spinal neurons controlling penile erection in the rat
- AU Bancila, M.; Verge, D.; Rampin, O.; Backstrom, J. R.; Sanders-Bush, E.; Mckenna, K. E.; Marson, L.; Calas, A.; Giuliano, F.
- CS Departement de Neurobiologie des Signaux Intercellulaires, Institut des Neurosciences, CNRS UMR 7624, Universite Pierre et Marie Curie, Paris, 75005, Fr.
- SO Neuroscience (Oxford) (1999), 92(4), 1523-1537 CODEN: NRSCDN; ISSN: 0306-4522
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 44 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:237729 CAPLUS
- DN 131:39044
- TI Paroxetine: a review of its pharmacology, pharmacokinetics and utility in the treatment of a variety of psychiatric disorders
- AU Heydorn, William E.
- CS Synaptic Pharmaceutical Corporation, Paramus, NJ, 07652, USA
- SO Expert Opinion on Investigational Drugs (1999), 8(4), 417-441 CODEN: EOIDER; ISSN: 1354-3784
- PB Ashley Publications
- DT Journal; General Review
- LA English
- RE.CNT 174 THERE ARE 174 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 45 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:112861 CAPLUS
- DN 130:321086
- TI Partial antagonism of 8-OH-DPAT'S effects on male rat sexual behavior with a D2, but not a 5-HT1A, antagonist
- AU Matuszewich, Leslie; Lorrain, Daniel S.; Trujillo, Robert; Dominguez, Juan; Putnam, Susan K.; Hull, Elaine M.
- CS Department of Psychology, State University of New York at Buffalo, Buffalo, NY, 14260-4110, USA
- SO Brain Research (1999), 820(1,2), 55-62 CODEN: BRREAP; ISSN: 0006-8993
- PB Elsevier Science B.V.
- DT Journal
- LA English
- RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 46 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:98999 CAPLUS
- DN 130:246107
- TI Effects of SSRIs on sexual function: a critical review
- AU Rosen, Raymond C.; Lane, Roger M.; Menza, Matthew
- CS Department of Psychiatry, Robert Wood Johnson Medical School, University of Medicine and Dentistry of New Jersey, Piscataway, NJ, 08854, USA
- SO Journal of Clinical Psychopharmacology (1999), 19(1), 67-85 CODEN: JCPYDR; ISSN: 0271-0749
- PB Lippincott Williams & Wilkins
- DT Journal; General Review
- LA English
- RE.CNT 255 THERE ARE 255 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DN 130:218141

- TI The effects of fluoxetine on several neurophysiological variables in patients with premature ejaculation
- AU Yilmaz, Ugur; Tatlisen, Atila; Turan, Handan; Arman, Fehim; Ekmekcioglu, Oguz
- CS Departments of Urology and Neurology, Erciyes University Medical Faculty, Gevher Nesibe Research and Training Hospital, Kayseri, Turk.
- SO Journal of Urology (Baltimore) (1999), 161(1), 107-111 CODEN: JOURAA; ISSN: 0022-5347
- PB Lippincott Williams & Wilkins
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- RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 48 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:708535 CAPLUS
- DN 130:205295
- TI Sexual behavior and wet dog shakes in the male rat: regulation by corticosterone
- AU Gorzalka, Boris B.; Hanson, Laura A.
- CS Department of Psychology, The University of British Columbia, Vancouver, BC, V6T 1Z4, Can.
- SO Behavioural Brain Research (1998), 97(1,2), 143-151 CODEN: BBREDI; ISSN: 0166-4328
- PB Elsevier Science B.V.
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- RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 49 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:571942 CAPLUS
- DN 129:310807
- In vivo evaluation of serotonergic agents and .alpha.-adrenergic blockers on premature **ejaculation** by inhibiting the seminal vesicle pressure response to electrical nerve stimulation
- AU Hsieh, J. T.; Chang, H. C.; Law, H. S.; Hsieh, C. H.; Cheng, J. T.
- CS Department of Urology, College of Medicine, National Taiwan University, Taipei, Taiwan
- SO British Journal of Urology (1998), 82(2), 237-240 CODEN: BJURAN; ISSN: 0007-1331
- PB Blackwell Science Ltd.
- DT Journal
- LA English
- RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 50 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:550222 CAPLUS
- DN 129:288230
- TI Potentiation of **ejaculatory** activity by median raphe nucleus lesions in male rats: effect of p-chlorophenylalanine
- AU Kondo, Yasuhiko; Yamanouchi, Korehito
- CS Department of Physiology, Nippon Medical School, Tokyo, 113, Japan
- SO Endocrine Journal (Tokyo) (1997), 44(6), 873-879 CODEN: ENJOEO; ISSN: 0918-8959
- PB Japan Endocrine Society
- DT Journal

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- L13 ANSWER 46 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:98999 CAPLUS
- DN 130:246107
- TI Effects of SSRIs on sexual function: a critical review
- AU Rosen, Raymond C.; Lane, Roger M.; Menza, Matthew
- CS Department of Psychiatry, Robert Wood Johnson Medical School, University of Medicine and Dentistry of New Jersey, Piscataway, NJ, 08854, USA
- SO Journal of Clinical Psychopharmacology (1999), 19(1), 67-85 CODEN: JCPYDR; ISSN: 0271-0749
- PB Lippincott Williams & Wilkins
- DT Journal; General Review
- LA English
- CC 1-0 (Pharmacology)
- AΒ A review with 255 refs. Sexual problems are highly prevalent in both men and women and are affected by, among other factors, mood state, interpersonal functioning, and psychotropic medications. The incidence of antidepressant-induced sexual dysfunction is difficult to est. because of the potentially confounding effects of the illness itself, social and interpersonal comorbidities, medication effects, and design and assessment problems in most studies. Ests. of sexual dysfunction vary from a small percentage to more than 80%. This article reviews current evidence regarding sexual side effects of selective serotonin reuptake inhibitors (SSRIs). Among the sexual side effects most commonly assocd. with SSRIs are delayed ejaculation and absent or delayed orgasm. Sexual desire (libido) and arousal difficulties are also frequently reported, although the specific assocn. of these disorders to SSRI use has not been consistently shown. The effects of SSRIs on sexual functioning seem strongly dose-related and may vary among the group according to serotonin and dopamine reuptake mechanisms, induction of prolactin release, anticholinergic effects, inhibition of nitric oxide synthetase, and propensity for accumulation over time. A variety of strategies have been reported in the management of SSRI-induced sexual dysfunction, including waiting for tolerance to develop, dosage redn., drug holidays, substitution of another antidepressant drug, and various augmentation strategies with 5-hydroxytryptamine-2 (5-HT2), 5-HT3, and .alpha.2 adrenergic receptor antagonists, 5-HT1A and dopamine receptor agonists, and phosphodiesterase (PDE5) enzyme inhibitors. Sexual side effects of SSRIs should not be viewed as entirely neg.; some studies have shown improved control of premature ejaculation in men. The impacts of sexual side effects of SSRIs on treatment compliance and on patients' quality of life are important clin. considerations.
- ST serotonin reuptake inhibitors sexual disorder review
- IT Sexual behavior
 - (disorder; effects of SSRIs on sexual function in humans)
- IT 50-67-9, Serotonin, biological studies
 - RL: BSU (Biological study, unclassified); BIOL (Biological study) (selective **serotonin** reuptake inhibitors; effects of SSRIs on sexual function in humans)
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- (8) Amsterdam, J; J Affect Disord 1998, V46, P151
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- (17) Baier, D; Fortschr Neurol Psychiatr 1994, V62, P14 MEDLINE
- (18) Baldessarini, R; Arch Gen Psychiatry 1990, V47, P191 MEDLINE
- (19) Ballenger, J; Am J Psychiatry 1998, V155, P36 MEDLINE
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     127:326407
     The treatment of comorbid premature ejaculation and panic
TI
     disorder with fluoxetine
     Kindler, S.; Dolberg, O.T.; Cohen, H.; Hirschmann, S.; Kotler, M.
ΑU
     Anxiety Clinic, Psychiatric Division, Sheba Medical Center, Ranmat-Gan,
CS
     and Sackler School of Medicine, Tel Aviv University, Tel-Aviv, 52621,
     Israel
SO
     Clinical Neuropharmacology (1997), 20(5), 466-471
     CODEN: CLNEDB; ISSN: 0362-5664
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Lippincott-Raven

DN 127:257916

Journal English

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- AU Coolen, Lique M.; Olivier, Berend; Peters, Hans J. P. W.; Veening, Jan G.
- CS Department of Anatomy and Embryology, University of Nijmegen, Nijmegen, 6500 HB, Neth.
- SO Physiology & Behavior (1997), 62(4), 881-891 CODEN: PHBHA4; ISSN: 0031-9384
- PB Elsevier
- DT Journal
- LA English
- L13 ANSWER 58 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:478034 CAPLUS
- DN 127:156762
- TI Specific involvement of central 5-HT1A receptors in the mediation of male rat ejaculatory behavior
- AU Ahlenius, Sven; Larsson, Knut
- CS Department of Physiology and Pharmacology, Karolinska Institute,

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Stockholm, S-171 77, Swed.
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- DT Journal; General Review
- LA English
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- DN 127:90405
- TI Flesinoxan: a prosexual drug for male rats
- AU Haensel, Stefan M.; Slob, A. Koos
- CS Department of Endocrinology and Reproduction, Faculty of Medicine and Health Sciences, Erasmus University and Dijkzigt Academic Hospital, P.O. Box 1738, 3000 DR, Rotterdam, Neth.
- SO European Journal of Pharmacology (1997), 330(1), 1-9 CODEN: EJPHAZ; ISSN: 0014-2999
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- DN 127:13376
- TI A double-blind comparison of fluvoxamine and paroxetine in the treatment of depressed outpatients
- AU Kiev, Ari; Feiger, Alan
- CS Social Psychiatry Res. Inst., New York, NY, 10021, USA
- SO Journal of Clinical Psychiatry (1997), 58(4), 146-152 CODEN: JCLPDE; ISSN: 0160-6689
- PB Physicians Postgraduate Press
- DT Journal
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- DN 126:305591
- TI Preparation of heteroaryloxy alkanamines having effects on **serotonin**-related systems
- IN Audia, James E.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.
- PA Eli Lilly and Company, USA
- SO U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned. CODEN: USXXAM
- DT Patent
- LA English
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- DN 126:144111
- TI 6-Substituted-1,2,3,4-tetrahydro-9H-carbazoles and 7-substituted-10H-cyclohepta[7,6-b]indoles useful as 5-HT1F receptor agonists.
- IN Flaugh, Michael Edward; Kiefer, Anton Daniel, Jr.; Walker, Clint Duane; Xu, Yao Chang
- PA Lilly, Eli, and Co., USA

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     CODEN: EOIDER; ISSN: 0967-8298
PB
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DT
     Journal; General Review
LA
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ΤI
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     different ages
     Rasia-Filho, A. A.; Lucion, A. B.
AU
CS
     Department Physiology, Federal University Rio Grande do Sul, Porto Alegre,
     RS 90050-170, Brazil
     Hormones and Behavior (1996), 30(3), 251-258
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     CODEN: HOBEAO; ISSN: 0018-506X
PΒ
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DT
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LΑ
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ΤI
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     ejaculation: A double-blind placebo controlled study
ΑU
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    125:264724
TI
    Use of psychoactive agents in the treatment of sexual dysfunction
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     Department Psychiatry and Neurosexology, Levenburg Hospital, The Hague,
     Neth.
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     125:67810
     Formulations for potentiation of drug responses by a serotonin
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     S1A receptor antagonist
     Oguiza, Juan Ignacio; Wong, David Taiwai
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PA
     Lilly, Eli, and Co., USA
     Eur. Pat. Appl., 20 pp.
SO
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     EP 714663
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L13 ANSWER 68 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
     1996:377358 CAPLUS
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     Treatment of obsessive-compulsive disorder, panic, substance abuse, and
TΙ
     other disorders with duloxetine
     Heiligenstein, John Harrison; Tollefson, Gary Dennis; Wong, David Taiwai
IN
     Lilly, Eli, and Co., USA
PΑ
SO
     PCT Int. Appl., 14 pp.
     CODEN: PIXXD2
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ΤI
    Monoaminergic influences on temporal patterning of sexual behavior in male
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ΑU
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     Marnie E.
     Department of Psychology, University of Nebraska at Omaha, Omaha, NE,
CS
     68182, USA
SO
     Physiology & Behavior (1995), 58(5), 847-52
     CODEN: PHBHA4; ISSN: 0031-9384
PB
     Elsevier
     Journal
DT
     English
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     Klint, T.; Larsson, K.
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CS
     Dep. of Psychology, Univ. of Goeteborg, Goeteborg, S-41314, Swed.
so
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     CODEN: PSCHDL; ISSN: 0033-3158
PB
     Springer
DT
     Journal
LΑ
     English
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L13 ANSWER 51 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
     1998:510509 CAPLUS
DN
     129:270469
ΤI
     Effect of SSRI antidepressants on ejaculation: A double-blind,
     randomized, placebo-controlled study with fluoxetine, fluvoxamine,
     paroxetine, and sertraline
ΑU
     Waldinger, Marcel D.; Hengeveld, Michiel W.; Zwinderman, Aeilko H.;
     Olivier, Berend
CS
     Department of Psychiatry and Neurosexology, Leyenburg Hospital, The Hague,
     Journal of Clinical Psychopharmacology (1998), 18(4), 274-281
SO
     CODEN: JCPYDR; ISSN: 0271-0749
PB
     Williams & Wilkins
DT
     Journal
LΑ
     English
CC
     1-11 (Pharmacology)
     Depression is a common cause of sexual dysfunction, but also
     antidepressant medication is often assocd. with sexual side effects. This
     article includes two related studies. The first double-blind,
     placebo-controlled study was conducted in men with lifelong rapid
     ejaculation and aimed to assess putative differences between the
    major selective serotonin reuptake inhibitors (SSRIs)
     (fluoxetine, fluvoxamine, paroxetine, and sertraline) with regard to their
     ejaculation-delaying effect. Sixty men with an intravaginal
     ejaculation latency time (IELT) of 1 min or less were randomly
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assigned to receive fluoxetine 20 mg/day, fluvoxamine 100 mg/day,

paroxetine 20 mg/day, sertraline 50 mg/day, or placebo for 6 wk. During the 1-mo baseline and 6-wk treatment periods, the men measured their IELT at home using a stopwatch. The trial was completed by 51 men. During the 6-wk treatment period, the geometric mean IELT in the placebo group was const. at approx. 20 s. Anal. of variance revealed a between-groups

difference in the evolution of IELT delay (p = 0.0004); in the paroxetine, fluoxetine, and sertraline groups there was a gradual increase to about 110 s, whereas in the fluoxamine group, IELT was increased to only

approx. 40 s. The paroxetine, fluoxetine, and sertraline groups differed significantly (p < 0.001, p < 0.001, p = 0.017, resp.) from placebo but .

the fluvoxamine group did not (p = 0.38). Compared with baseline, paroxetine exerted the strongest delay in ejaculation, followed by fluoxetine and sertraline. There was no clin. relevant delay in ejaculation with fluvoxamine. In men with lifelong rapid ejaculation, paroxetine delayed ejaculation most strongly, whereas fluvoxamine delayed ejaculation the least. The second double-blind, placebo-controlled study was carried out in men with lifelong rapid ejaculation (IELT .ltoreq. 1 min) and in men with lifelong less-rapid ejaculation (IELT > 1 min) to investigate whether data about SSRI-induced delayed ejaculation in men with rapid ejaculation may be extrapolated to men with less-rapid ejaculation. After measurement of IELT at home (using a stopwatch) during a 1-mo baseline assessment, 32 men with an IELT of 1 min or less (group 1) or more than 1 min (group 2) were randomly assigned to receive paroxetine 20 mg/day or placebo for 6 wk in a double-blind manner. Patients continued to measure their IELTs at home during the 6 wk of the study. At baseline, 24 patients consistently had IELTs of one minute or less (group 1), and eight patients had IELTs of more than 1 min (group 2). The geometric mean IELT was $14 \ \mathrm{s}$ in group 1and 83 s in group 2. Twelve patients in group 1 and five in group 2 were randomized to the paroxetine 20 mg/day. The percentage increase in the geometric mean IELT compared with baseline in patients treated with paroxetine was 420% (95% confidence interval [CI], 216-758%) in group 1 and 480% (95% CI, 177-1,118%) in group 2 (p = 0.81). After 6 wk of treatment with paroxetine, the geometric mean IELT was 92 s in group 1 and 602 s in group 2. Therefore, the paroxetine-induced percentage increase in IELT seems to be independent of the baseline IELT. This suggests that ejaculation-delaying side effects of some SSRIs investigated in men with lifelong rapid ejaculation may be generalized to men with less-rapid ejaculation.

ST SSRI antidepressant **ejaculation** fluoxetine fluoxamine paroxetine; sertraline SSRI antidepressant **ejaculation** fluoxetine fluoxamine

IT Sexual behavior

(ejaculation; vSSRIs antidepressants fluoxetine, fluvoxamine paroxetine, and sertraline effects on ejaculation latency in men)

IT Sexual behavior

(premature ejaculation; SSRIs antidepressants fluoxetine, fluvoxamine paroxetine, and sertraline effects on ejaculation latency in men)

IT Antidepressants

(selective **serotonin** reuptake inhibitors; SSRIs antidepressants fluoxetine, fluvoxamine paroxetine, and sertraline effects on **ejaculation** latency in men)

IT 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 61869-08-7, Paroxetine 79617-96-2, Sertraline

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SSRIs antidepressants fluoxetine, fluvoxamine paroxetine, and sertraline effects on **ejaculation** latency in men)

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(5-HT1A; serotonergic system in antidepressants-induced delayed

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ejaculation)
IT
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IT
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     50-67-9, Serotonin, biological studies
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     (Biological study); PROC (Process)
        (serotonergic system in antidepressants-induced delayed
        ejaculation)
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- AN 1997:672097 CAPLUS
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- TI The treatment of comorbid premature **ejaculation** and panic disorder with fluoxetine
- AU Kindler, S.; Dolberg, O.T.; Cohen, H.; Hirschmann, S.; Kotler, M.
- CS Anxiety Clinic, Psychiatric Division, Sheba Medical Center, Ranmat-Gan, and Sackler School of Medicine, Tel Aviv University, Tel-Aviv, 52621, Israel
- SO Clinical Neuropharmacology (1997), 20(5), 466-471 CODEN: CLNEDB; ISSN: 0362-5664
- PB Lippincott-Raven
- DT Journal
- LA English
- CC 1-11 (Pharmacology)
- AΒ Premature ejaculation is a common sexual disturbance among men. Both open-label and double-blind studies have demonstrated the effectiveness of serotonergic medications for this disorder. These studies support the hypothesis that the serotonergic system has an important role in the modulation of sexual response, esp. attainment of orgasm. Serotonergic dysfunction also has been linked to the pathogenesis of panic disorder. Several studies have demonstrated the efficacy of serotonergic drugs in this disorder. The purpose of the present study was to examine the efficacy of fluoxetine, a serotonin selective reuptake inhibitor for the treatment of comorbid premature ejaculation and panic disorder, in 10 men in an open-label design. The patients were given 20 mg of fluoxetine for 8 wk of the study. Parameters pertaining to sexual function and measures of anxiety were Improvement of premature ejaculation was noted as of week 2 of the study, whereas measures of panic and sexual satisfaction became statistically significant only as of week 4. Further studies with larger samples and longer periods of follow-up are needed in order to det. the usefulness of fluoxetine for the treatment of comorbid premature ejaculation and panic disorder.

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ST
     fluoxetine premature ejaculation panic disorder antipsychotic
ΙT
     Anxiety
        (panic disorder; treatment of comorbid premature ejaculation
        and panic disorder with fluoxetine in humans)
IT
     Sexual behavior
     Sexual behavior
        (premature ejaculation; treatment of comorbid premature
        ejaculation and panic disorder with fluoxetine in humans)
IT
     Antipsychotics
        (treatment of comorbid premature ejaculation and panic
        disorder with fluoxetine in humans)
IT
     54910-89-3, Fluoxetine
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (treatment of comorbid premature ejaculation and panic
        disorder with fluoxetine in humans)
=> d 113 57 all
L13 ANSWER 57 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
     1997:586274 CAPLUS
AN
DN
     127:257916
ΤI
     Demonstration of ejaculation-induced neural activity in the male
     rat brain using 5-HT1A agonist 8-OH-DPAT
AU
     Coolen, Lique M.; Olivier, Berend; Peters, Hans J. P. W.; Veening, Jan G.
     Department of Anatomy and Embryology, University of Nijmegen, Nijmegen,
CS
     6500 HB, Neth.
SO
     Physiology & Behavior (1997), 62(4), 881-891
     CODEN: PHBHA4; ISSN: 0031-9384
PB
     Elsevier
DΨ
     Journal
LΑ
     English
CC
     2-8 (Mammalian Hormones)
     Previous studies from our lab. indicated the existence of
     ejaculation-related neural activation within the circuitry
     underlying mating behavior in the male rat. Clusters of
     Fos-immunoreactive neurons were present only following
     ejaculations and not after intromissions. However, it was not
     clear if this pattern of neural activation was specific to
     ejaculation or a result of summation of sexual activity preceding
     ejaculation. In the present study, the facilitative effect of the
     5-HT1A receptor agonist 8-OH-DPAT on ejaculatory behavior was
     used to analyze the pattern of Fos immunoreactivity following
     ejaculation preceded by minimal sexual activity. Male rats
     treated with 8-OH-DPAT (0.4 mg/kg) achieved ejaculation after a
     shortened latency and low nos. of mounts and intromissions.
     Ejaculation-induced Fos immunoreactivity was present in clusters
     of neurons in the lateral part of the posterodorsal medial amygdala, in
     two subregions of the posteromedial bed nucleus of the stria terminalis.
     in the posterodorsal preoptic nucleus, and in the parvicellular part of
     the subparafascicular thalamic nucleus. Males that ejaculated with the
     first intromission and were treated with a higher dose of 8-OH-DPAT (0.8
     mg/kg) exhibited similar clusters of Fos-pos. neurons in all areas except
     the posterodorsal preoptic nucleus. The results demonstrate the existence
     of a specific ejaculation-related subcircuit within a larger
     neural circuitry involved in male sexual behavior.
ST
    brain serotonin S1A sexual behavior
ΙT
     5-HT receptors
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
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(Biological study); PROC (Process)

(5-HT1A; demonstration of ejaculation-induced neural activity in male rat brain using 5-HT1A agonist 8-OH-DPAT) IT (amygdala, medial amygdaloid body; demonstration of ejaculation -induced neural activity in male rat brain using 5-HT1A agonist 8-OH-DPAT) IT Brain Neurotransmission

Sexual behavior

(demonstration of ejaculation-induced neural activity in male rat brain using 5-HT1A agonist 8-OH-DPAT)

IT Brain

> (hypothalamus, preoptic area; demonstration of ejaculation -induced neural activity in male rat brain using 5-HT1A agonist 8-OH-DPAT)

IT Brain

> (parafascicular nucleus; demonstration of ejaculation-induced neural activity in male rat brain using 5-HT1A agonist 8-OH-DPAT)

IT Brain

> (stria terminalis bed nucleus; demonstration of ejaculation -induced neural activity in male rat brain using 5-HT1A agonist 8-OH-DPAT)

IT 78950-78-4, 8-OH-DPAT

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(demonstration of ejaculation-induced neural activity in male rat brain using 5-HT1A agonist 8-OH-DPAT)

=> d 113 58 all

L13 ANSWER 58 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN

1997:478034 CAPLUS AN

127:156762 DN

- ΤI Specific involvement of central 5-HT1A receptors in the mediation of male rat **ejaculatory** behavior
- Ahlenius, Sven; Larsson, Knut ΑU
- Department of Physiology and Pharmacology, Karolinska Institute, CS Stockholm, S-171 77, Swed.
- Neurochemical Research (1997), 22(8), 1065-1070 SO CODEN: NEREDZ; ISSN: 0364-3190
- PBPlenum
- DTJournal; General Review
- LΑ English
- CC 2-0 (Mammalian Hormones)
- AΒ A review, with 34 refs. The aminotetralin 8-hydroxy-2-(di-npropylamino)tetralin (8-OH-DPAT), pharmacol. characterized as a 5-HT1A receptor agonist, produces a pronounced decrease in ejaculation latency in the male rat. Stimulation of 5-HT receptors by a pharmacol. induced increase in the synaptic availability of 5-HT has been shown to produce the opposite effect. The 8-OH-DPAT-induced decrease in ejaculation latency is specific for this compd., and some chem. related ergot derivs. In this paper the authors review the evidence in support for stimulation of serotonergic autoreceptors of the 5-HT1A receptor subtype as a mechanism of action for effects by 8-OH-DPAT on male rat ejaculatory behavior. The authors also present the questions posed by the fact that quinpirole and lisuride both produce 8-OH-DPAT-like effects on male rat ejaculatory behavior. The effects by quinpirole, lisuride or 8-OH-DPAT are not sensitive to pretreatment with the DA D2/3 receptor antagonist raclopride. Continued studies will show whether the effects of quinpirole and lisuride can be related to stimulation of 5-HT1A receptors, or if all these compds. have

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as yet undefined common properties.
ST
     serotonin S1A receptor brain ejaculation review
ΙT
     5-HT receptors
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
         (5-HT1A; central 5-HT1A receptors in mediation of male rat
        ejaculatory behavior)
IT
     Brain
         (central 5-HT1A receptors in mediation of male rat ejaculatory
        behavior)
IT
     Sexual behavior
         (ejaculation; central 5-HT1A receptors in mediation of male
        rat ejaculatory behavior)
=> d l13 65 all
L13 ANSWER 65 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     1996:657688 CAPLUS
DN
     125:317071
TI
     The efficacy of fluoxetine in the treatment of premature
     ejaculation: A double-blind placebo controlled study
     Kara, Hayrettin; Aydin, Sabahattin; Agargun, M. Yucel; Odabas, Oner;
ΑU
     Yilmaz, Yuksel
     Medical School Yuzuncu, Yil University, Van, Turk.
CS
SO
     Journal of Urology (Baltimore) (1996), 156(5), 1631-1632
     CODEN: JOURAA; ISSN: 0022-5347
PΒ
     Williams & Wilkins
DT
     Journal
LA
     English
CC
     1-11 (Pharmacology)
AΒ
     The efficacy of the selective serotonin re-uptake inhibitor
     fluoxetine in the treatment of premature ejaculation was examd.
     The study comprized 17 patients with premature ejaculation who presented to the urol. clinic of the authors' medical school. In this
     double-blind study the patients were randomized into treatment groups
     receiving 20 mg. fluoxetine daily for 1 wk and 40 mg. daily afterward
     (group (1)) or 1 capsule placebo daily for 1 wk and 2 capsules daily
     afterward (group (2)). The groups were evaluated according to the latent
     period of intravaginal ejaculation. The latent period of
     intravaginal ejaculation in group 1 was significantly longer
     than that in group 2. Nausea, headache and insomnia were reported side
     effects. Fluoxetine may be regarded as a safe and effective alternative
     in the treatment of premature ejaculation.
ST
     fluoxetine premature ejaculation
TΤ
     Sexual behavior
        (disorder, premature ejaculation, efficacy of fluoxetine in
        treatment of premature ejaculation dealing with a
        double-blind placebo controlled study in humans)
     54910-89-3, Fluoxetine
     RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
     effector, except adverse); BSU (Biological study, unclassified); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (efficacy of fluoxetine in treatment of premature ejaculation
        dealing with a double-blind placebo controlled study in humans)
=> d 113 66 all
    ANSWER 66 OF 139 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1996:614257 CAPLUS
DN
     125:264724
```

```
ΤI
     Use of psychoactive agents in the treatment of sexual dysfunction
AU
     Waldinger, Marcel D.
CS
     Department Psychiatry and Neurosexology, Leyenburg Hospital, The Hague,
     Neth.
SO
     CNS Drugs (1996), 6(3), 204-216
     CODEN: CNDREF; ISSN: 1172-7047
PB
DT
     Journal; General Review
     English
LΑ
CC
     1-0 (Pharmacology)
AB
     A review with 86 refs. Sexual function can be subdivided into phases of
     sexual desire, penile erection, ejaculation and orgasm.
     Dysfunction of these processes is manifest as disorders that include
     hypoactive sexual desire, male erectile dysfunction, premature and
     retarded ejaculation, and anorgasmia. These disorders can be
     primary in etiol. or can be caused by a no. of psychoactive drugs
     including, commonly, antidepressants. At present, sexual dysfunction is
     rarely treated with pharmacol. agents. The usual approach consists of
     psychotherapy. However, in recent years, more interest has arisen in
     treating disorders of sexual function with psychopharmacol. drugs,
     particularly sexual dysfunction that is the adverse effect of
     antidepressants. Clin. reports suggest that primary premature
     ejaculation can be successfully treated with clomipramine and
     selective serotonin (5-hydroxytryptamine; 5-HT) reuptake
     inhibitors. At present, only a few oral medications have been shown to be
     useful in the treatment of erectile dysfunction (including yohimbine and
     trazodone), although these have not been developed specifically for this
     indication. The pharmacol. treatment of primary retarded
     ejaculation and female primary anorgasmia still offers no
     efficacy. There are, on the other hand,.
     psychotropic sexual dysfunction review
ST
ΙT
     Psychotropics
        (use of psychoactive agents in the treatment of sexual dysfunction in
        humans)
IT
     Sexual behavior
        (disorder, use of psychoactive agents in the treatment of sexual
        dysfunction in humans)
=> d his
     (FILE 'HOME' ENTERED AT 12:20:03 ON 25 NOV 2003)
     FILE 'REGISTRY' ENTERED AT 12:20:14 ON 25 NOV 2003
                E DAPOXETINE
L1
              2 S E3
     FILE 'CAPLUS' ENTERED AT 12:21:05 ON 25 NOV 2003
L2
L3
              0 S SELECTIVE SEROTININ REUPTAKE INHIBITOR
            697 S SELECTIVE SEROTONIN REUPTAKE INHIBITOR
L4
                E EJACULATION
L5
           1881 S E1-E10
L6
             18 S L4 AND L5
L7
           1329 S SEROTONIN REUPTAKE INHIBITOR
L8
             27 S L7 AND L5
L9
              9 S L8 NOT L6
L10
          62015 S SEROTONIN
L11
            166 S L10 AND L5
L12
            157 S L11 NOT L9
L13
            139 S L12 NOT L6
```

- AN 1999:45466 CAPLUS
- DN 130:306414
- TI A comparison of the effects of different serotonin reuptake blockers on sexual behavior of the male rat
- AU Mos, Jan; Mollet, Ian; Tolboom, Jeroen T. B. M.; Waldinger, Marcel D.; Olivier, Berend
- CS Solvay Pharmaceuticals, Department of Pharmacology, Weesp, 1380 DA, Neth.
- SO European Neuropsychopharmacology (1999), 9(1-2), 123-135 CODEN: EURNE8; ISSN: 0924-977X
- PB Elsevier Science Ireland Ltd.
- DT Journal
- LA English

AB

- CC 1-11 (Pharmacology)
 - In human males, SSRIs differentially affect (premature) ejaculation; paroxetine and fluoxetine markedly and sertraline, moderately inhibited ejaculation latency, whereas fluvoxamine did not inhibit this parameter (Waldinger, M.D., Hengeveld, M.W., Zwinderman, A.H., Olivier, B., The effect of SSRI antidepressants on ejaculation: a double-blind, randomized, placebo-controlled study with fluoxetine, fluvoxamine, paroxetine and sertraline. J. Clin. Psychopharmacol. (in press)). The present studies tried to investigate, using sexual behavior in male rats, whether such differences could also be found in animal paradigms of sexual behavior. In a series of three expts. we compared various specific serotonin reuptake inhibitors (SSRIs) for their ability to suppress sexual behavior in male rats. In the first expt. sexually experienced rats were tested 60 min after oral administration of clomipramine, fluvoxamine, fluoxetine (all in a range of 0, 3, 10 and 30 mg/kg p.o.), sertraline or paroxetine (both in a range of 0, 1, 3 and 10 mg/kg p.o.). Clomipramine, paroxetine and fluvoxamine did not significantly inhibit male sexual behavior, although some trends were obsd. Sertraline inhibited sexual behavior at 3 and 10 mg/kg p.o., the effects being stronger at 3 mg/kg p.o. Fluoxetine (3 mg/kg p.o.) facilitated sexual behavior, while at 30 mg/kg p.o. a modest increase in the postejaculatory interval was noted. In the second expt., sexual behavior of sexually naive male rats was slightly inhibited by paroxetine 10 mg/kg p.o., but sertraline (range 1-10 mg/kg p.o.), fluvoxamine and fluoxetine (both in a range of 3-30 mg/kg p.o.) were ineffective. In the last expt. the effects of paroxetine (0-10 mg/kg p.o.), fluvoxamine and fluoxetine (both 0-30 mg/kg p.o.) were studied during an exhaustion design in sexually experienced male rats. As rats get more 'sluggish' when they have had multiple ejaculations, we hoped to see stronger inhibitory effects in the last cycle prior to exhaustion. None of the drugs dose-dependently inhibited the pattern of sexual behavior during the first sexual cycle. In the last cycle the patterning of sexual behavior differed, but only paroxetine (10 mg/kg p.o.) inhibited sexual behavior significantly. The total no. of ejaculations during the test was not reduced by any of the SSRIs tested. Contrary to human findings, we did not find major inhibitory effects of SSRIs on male rat sexual behavior at non-sedative doses. The only differentiation that could be made is that paroxetine and sertraline had slightly stronger effects than the other 5-HT reuptake inhibitors. Masculine sexual behavior in rats does not constitute a suitable model to investigate the differential mechanism of sexual inhibition of SSRIs that have been described in human
- ST serotonin reuptake clomipramine paroxetine fluvoxamine sertraline fluoxetine
- IT Antidepressants Sexual behavior
 - (a comparison of effects of different serotonin reuptake blockers on
 - sexual behavior of male rat)
- IT 303-49-1, Clomipramine 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 61869-08-7, Paroxetine 79617-96-2, Sertraline

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (a comparison of effects of different serotonin reuptake blockers on sexual behavior of male rat) 50-67-9, Serotonin, biological studies RL: BSU (Biological study, unclassified); BIOL (Biological study) (selective serotonin reuptake inhibitor; a comparison of effects of different serotonin reuptake blockers on sexual behavior of male rat) RE.CNT THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD (1) Agmo, A; J Neural Transm 1989, V77, P21 MEDLINE (2) Agmo, A; Pharmacol Biochem Behav 1990, V35, P327 CAPLUS (3) Ahlenius, S; Eur J Pharmacol 1984, V99, P279 CAPLUS (4) Ahlenius, S; Psychopharmacologia 1971, V20, P383 CAPLUS (5) Ahlenius, S; Psychopharmacology 1979, V65, P137 CAPLUS (6) Ahlenius, S; Psychopharmacology 1980, V68, P217 CAPLUS (7) Aizenberg, D; Clin Neuropharmacol 1995, V18, P320 CAPLUS (8) Althof, S; J Clin Psychiatry 1995, V56, P402 MEDLINE (9) Assalian, P; J Sex Res 1988, V24, P213 (10) Baldwin, D; Int Rev Psychiatry 1995, V7, P261 (11) Baum, M; Pharmacol Biochem Behav 1980, V13, P57 CAPLUS (12) Beach, F; Q J Exp Psychol 1956, V8, P121 (13) Benazzi, F; Pharmacopsychiatry 1994, V27, P246 MEDLINE (14) Bitran, D; Neurosci Biobehav Rev 1987, V11, P365 CAPLUS (15) Collet, D; Modelling Survival Data in Medical Research Chapman and Hall 1994 (16) Devane, C; Hum Psychopharmacol 1995, V10(S3), PS185 (17) Dorevitch, A; Ann Pharmacother 1994, V28, P872 MEDLINE (18) Eaton, H; J Int Med Res 1973, V1, P432 (19) Forster, P; Am J Psychiatry 1994, V151, P1523 MEDLINE (20) Haensel, S; J Urol 1996, V156, P1310 CAPLUS (21) Haensel, S; Pharmacol Biochem Behav 1991, V40, P221 CAPLUS (22) Hamburger-Bar, R; Life Sci 1978, V22, P1827 CAPLUS (23) Hillegaart, V; Behav Brain Res 1989, V33, P279 CAPLUS (24) Hyttel, J; Int Clin Psychopharmacol 1994, V9(S1), P19 (25) Lane, R; J Psychopharmacol 1995, V9, P163 CAPLUS (26) Machale, S; Br J Psychiatry 1994, V164, P854 MEDLINE (27) Maritz, J; J Am Stat Assoc 1978, V73, P194 (28) Meston, C; J Psychoact Drugs 1992, V24, P1 MEDLINE (29) Monteiro, W; Br J Psychiatry 1987, V151, P107 MEDLINE (30) Mos, J; The Netherlands 1990, P221 (31) Murdoch, D; Drugs 1992, V44, P604 CAPLUS (32) Olivier, B; Depression, Anxiety and Aggression-Preclinical and Clinical Interfaces Medidact 1988, P121 (33) Patterson, W; J Clin Psychiatry 1993, V54, P71 MEDLINE (34) Power-Smith, P; Br J Psychiatry 1994, V164, P249 MEDLINE (35) Rothchild, A; Am J Psychiatry 1995, V152, P1514 (36) Salis, P; Nature 1971, V232, P400 CAPLUS (37) Sanchez, C; Eur J Pharmacol 1994, V264, P241 CAPLUS (38) Sanchez, C; Psychopharmacology 1997, V129, P197 CAPLUS (39) Segraves, R; J Sex Marital Ther 1995, V21, P192 MEDLINE (40) Shen, W; Int J Psychiatry Med 1995, V25, P239 MEDLINE (41) Sodersten, P; Pharmacol Biochem Behav 1976, V5, P310 (42) Taylor, G; Physiol Behav 1996, V59, P479 CAPLUS (43) Waldinger, M; Am J Psychiatry 1994, V151, P1377 MEDLINE (44) Waldinger, M; J Clin Psychopharmacol (in press) (45) Walker, P; J Clin Psychiatry 1993, V54, P459 MEDLINE (46) Wise, T; J Clin Psychiatry 1994, V55, P417 MEDLINE (47) Yells, D; Pharmacol Biochem Behav 1994, V49, P121 CAPLUS (48) Zajecka, J; J Clin Psychiatry 1991, V52, P66 MEDLINE

IT

RE

```
DN
     132:146650
     Treating depression with a combination of a serotonin uptake inhibitor, a
TΙ
     5-HT1A presynaptic antagonist, and a 5-HT1A agonist
IN
     Depoortere, Henri
PΑ
     Sanofi-Synthelabo, Fr.
SO
     PCT Int. Appl., 36 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     French
IC
     ICM A61K031-40
     ICS A61K031-135; A61K031-505; A61K031-135; A61K031-505
CC
     1-11 (Pharmacology)
     Section cross-reference(s): 63
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
     ----- ----
PΙ
     WO 2000006160
                      A1 20000210
                                          WO 1999-FR1825 19990726
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     FR 2781671
                      A1
                           20000204
                                          FR 1998-9603
                                                            19980728
     AU 9949167
                       A1
                            20000221
                                           AU 1999-49167
                                                            19990726
PRAI FR 1998-9603
                       Α
                            19980728
     WO 1999-FR1825
                       W
                            19990726
     Pharmaceutical compns. are provided which contain a serotonin uptake
AΒ
     inhibitor (e.g. fluoxetine), a 5-HT1A presynaptic antagonist (e.g.
     pindolol), and a 5-HT1A agonist (e.g. buspirone) as a combination product
     for simultaneous, sep., or prolonged use for treating various forms of
     depression.
ST
     depression fluoxetine pindolol buspirone combination; serotoninergic S1A
     presynaptic antagonist combination depression; S1A serotoninergic agonist
     combination depression
IT
     5-HT agonists
     5-HT antagonists
        (5-HT1A; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Mental disorder
        (depression, major; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Mental disorder
        (depression, neurotic; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
ΙT
     Sleep
        (disorder; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Mental disorder
        (manic bipolar disorder; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Mental disorder
        (obsession-compulsion; serotonin uptake inhibitor-5-HT1A presynaptic
        antagonist-5-HT1A agonist combination for treatment of depression)
IT
     Drug delivery systems
        (oral; serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A
       agonist combination for treatment of depression)
ΙT
     Anxiety
        (panic; serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A
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agonist combination for treatment of depression) IT Mental disorder (phobia, social; serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A agonist combination for treatment of depression) IT Antidepressants Antipsychotics Anxiolytics Cognition enhancers Drug delivery systems Drug interactions (serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A agonist combination for treatment of depression) IT Drug interactions (synergistic; serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A agonist combination for treatment of depression) IT 50-67-9, Serotonin, biological studies RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (reuptake inhibitors; serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A agonist combination for treatment of depression) 13523-86-9, Pindolol IT 36505-84-7, Buspirone 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 59729-33-8, Citalopram 61869-08-7, Paroxetine 71827-56-0, Clemeprol 79617-96-2, Sertraline 83366-66-9, Nefazodone 83455-48-5, Bromerguride 83928-76-1, Gepirone 87760-53-0, Tandospirone 90494-76-1, SR 57746 92623-85-3, Milnacipran 93413-69-5, Venlafaxine 95847-70-4, Ipsapirone 98206-10-1, Flesinoxan 99487-26-0, MCI 225 102908-59-8, Binospirone 112922-55-1, Cericlamine 114298-18-9, Zalospirone 119356-77-3, Dapoxetine 127266-56-2, WY 50324 132449-45-7, E4414 132449-46-8, Lesopitron 132501-12-3, WY 48723 133109-86-1, EMD 56551 132873-35-9, LY 274600 135722-27-9, S 14671 138298-79-0, Alnespirone 141318-62-9, LY 293284 142348-14-9, Pyricapirone 144340-02-3, CP 119333 144980-77-8, BAYx 3702 145969-30-8, OPC 14523 146479-45-0, BMS 181101 146998-34-7, S 15535 149494-37-1, Ebalzotan 149654-41-1, U 92016A 150019-94-6, BMS 184111 150527-35-8, FG 5865 150710-80-8, HT 90B 156896-33-2, LY 301317 161178-10-5, YM 35992 161312-09-0 162408-66-4, GR 103691 163521-12-8, EMD 68843 167933-07-162581-80-8, LY 297996 167933-07-5, Flibanserin 177975-08-5, EMD 77697 179756-58-2, F 11440 208516-87-4, NAD 299 214686-27-8, F 12439 221452-76-2, EF 7412 257614-79-2 257863-96-0, 257863-98-2, EMD 80084 NS 2389 257864-13-4, AP 521 257864-15-6, AZ 16596 257864-30-5, DDR 203901 257864-31-6, DDR 205852 257864-33-8, DDR 208978 257864-35-0, DDR 211278 257864-36-1, DDR 212219 257864-37-2, FCE 23892 257864-38-3, LY 315535 257864-39-4, S 215521 257864-41-8, WAY 100802 257864-47-4, EMD 67478 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A agonist combination for treatment of depression) RE.CNT THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD RE (1) Cadieux, R; AMERICAN FAMILY PHYSICIAN 1998, P1 (2) Devane, C; HTTP://MBLCOMMUNICATIONS COM/PP998 DEVANE P10 (3) Devane, C; PRIMARY PSYCHIATRY 1998, V5(9) (4) Eli, L; EP 0687472 A 1995 CAPLUS (5) Eli, L; EP 0792649 A 1997 CAPLUS (6) Majeroni, B; JOURNAL OF THE AMERICAN BOARD OF FAMILY PRACTICE, http://www.medscape.com/ABFP/JABFP/1998/v1 1.n02/fp1102.05.maje/fp1102.05.m aje.html abrege 1998, V11(2), P127 MEDLINE (7) Nemeroff, C; DEPRESSION AND ANXIETY 1996, P169 (8) Perez, M; BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 1998, V8(23), P3423

CAPLUS

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=>

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AN
     1993:204601 CAPLUS
DN
     118:204601
     Determination of dapoxetine, an investigational agent with the potential
TI
     for treating depression, and its mono- and di-desmethyl metabolites in
     human plasma using column-switching high-performance liquid chromatography
ΑU
     Hamilton, Cristi L.; Cornpropst, J. David
CS
     Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA
     Journal of Chromatography, Biomedical Applications (1993), 612(2), 253-61
     CODEN: JCBADL; ISSN: 0378-4347
DT
     Journal
LΑ
     English
     1-1 (Pharmacology)
CC
AB
    A column-switching high-performance liq. chromatog. (HPLC) method is
     described for the detn. of dapoxetine and its mono- and di-desmethyl
    metabolites in human plasma. The analytes, including an internal std.,
     were extd. from plasma at basic pH with hexane-Et acetate. The org. ext.
     was evapd. to dryness and the residue reconstituted with acetonitrile.
     The analytes were sepd. from late-eluting endogenous substances on a
     Zorbax RX-C8 pre-column. The front-cut fraction contg. the analytes was
     further sepd. on a second RX-C8 column. The analytes were detected by
     their native fluorescence, using excitation and emission wavelengths of
     230 and 330 nm, resp. The limit of quantitation was detd. to be 20 ng/mL,
     and the response was linear from 20 to 200 ng/mL. The method has been
     successfully applied to human plasma samples in a Phase I study.
ST
    dapoxetine metabolite detn blood HPLC; liq chromatog dapoxetine metabolite
    blood
ΙT
    Blood analysis
        (dapoxetine and its metabolites detn. in human, by HPLC)
ΙT
     Chromatography, column and liquid
        (high-performance, of dapoxetine and its metabolites, in human blood
        detn.)
ΙT
    147199-39-1
                   147199-40-4
```

RL: ANT (Analyte); ANST (Analytical study)
(detn. of, as dapoxetine metabolite, in blood of humans by HPLC)

IT 119356-77-3, Dapoxetine
RL: ANT (Analyte): ANST (Analytical study)

RL: ANT (Analyte); ANST (Analytical study) (detn. of, in blood of humans by HPLC)

```
1992:255284 CAPLUS
AN
DN
     116:255284
TI
     A chiral synthesis of dapoxetine hydrochloride, a serotonin reuptake
     inhibitor, and its 14C isotopomer
ΑU
     Wheeler, William J.; O'Bannon, Douglas D.
     Lilly Corp. Cent., Eli Lilly and Co., Indianapolis, IN, 46285, USA
CS
     Journal of Labelled Compounds and Radiopharmaceuticals (1992), 31(4),
SO
     305-15
     CODEN: JLCRD4; ISSN: 0362-4803
DΤ
     Journal
LA
     English
CC
     25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
     Section cross-reference(s): 1
OS
     CASREACT 116:255284
AB
     The title isotopomer was prepd. by a chiral synthesis, starting with
     (R)-PhCHRNH(tert-Boc) (I, R = CO2H). Borane redn., followed by activation
     of the resulting alc. as its mesylate, provided I (R = CH2OMs). The
     radiolabel was introduced by reaction of the mesylate with sodium
     cyanide-[14C]. The desired product was then elaborated from the nitrile
     via a 5-step synthesis in an overall 19.5% radiochem. yield.
ST
     dapoxetine carbon labeled chiral synthesis
IT
     126568-44-3P
                    141625-50-5P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and conversion to carboxylic acid)
ΙT
     102089-75-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and cyanation of)
ΙT
     102089-74-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and mesylation of)
IT
     82769-76-4P
                   141625-52-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and methylation of)
IT
     82769-75-3P
                   141625-53-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and reaction with fluoronaphthalene)
IT
     83649-47-2P
                   141625-51-6P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and redn. of)
IT
     129938-20-1P
                    141625-54-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
IT
     321-38-0, 1-Fluoronaphthalene
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction of, with aminophenylpropoxide)
IT
     33125-05-2
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (redn. of)
```

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AN 1989:114467 CAPLUS
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DN 110:114467

TI Preparation of 1-phenyl-3-(naphthalenyloxy)propanamines as serotonin inhibitors

IN Robertson, David Wayne; Thompson, Dennis Charles; Wong, David Taiwai

PA Lilly, Eli, and Co., USA

SO Eur. Pat. Appl., 38 pp. CODEN: EPXXDW

DT Patent

LA English

IC ICM C07C093-00

ICS C07C093-14; C07D317-58; A61K031-135

CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds) Section cross-reference(s): 1, 63

MAT	. CNT	1

FAN.	PATENT NO.	KIND	DATE		APP	LICATION NO.	DATE	
PI	EP 288188 EP 288188	A1 B1	19881026		EP :	1988-303177	19880408	
				CB	CD T	r, LI, LU, NL	CF	
	IL 85988	A1	19920818	GD,	TT T	1988-85988	, SE 10000406	
	CA 1329937		19940531		ς» . ΤΠ .	1000-05500	10000406	
	AU 8814335	Δ1	19881013		י זוגי	1900-303374	10000400	
	AU 602971	B2	19901101		AU.	1900-14333	19000407	
	JP 63258837				.тр -	1988-88025	19880407	
	JP 06037443		19940518		OI.	1900-00023	19000407	
	DK 8801882				חג י	1988-1882	19880407	
	DK 170637		19951120		DIC .	1000 1002	19000407	
	ZA 8802418	A			7.A 1	1988-2418	19880407	
	CN 88102018	A				1988-102018	19880408	
	CN 1020093	В				1000 102010	13000400	
	ни 50316	A2			HU 1	1988-1790	19880408	
	HU 204767		19920228				13000100	
	SU 1568886	A3	19900530		SU 1	1988-4355511	19880408	
	AT 68473		19911115			1988-303177	19880408	
	ES 2045109	Т3	19940116			1988-303177	19880408	
	US 5135947	Α	19920804			1990-561492	19900801	
PRAI	US 1987-36534		19870409					
	EP 1988-303177							
	US 1988-191465							
	US 1989-372149		19890626					
os	MARPAT 110:114467							
GI								

$$R^3$$
 OCH₂CH₂CHNR¹R² Me OCH₂CH₂CHPhR⁵

AB The title compds. [I; R1,R2 = H, Me; R3 = H, halo, C1-4 alkyl, C1-3 alkoxy, CF3; R4 = H, halo, C1-4 alkyl, C1-3 alkoxy, CF3; R4 = H, halo, C1-4 alkyl, C1-3 alkoxy, CF3; R42 = OCH2O; m = 1, 2] and their stereoisomers and pharmaceutically acceptable salts were prepd. for selective inhibition of serotonin uptake in mammals, useful as

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antidepressants. PhCH2CO2H was alkylated with 2-(4-methyl-1-
     naphthalenoxy) ethyl chloride by using BuLi in HMPA to give
     carboxyphenylnaphthalenyloxypropane II (R5 = CO2H) which was treated in
     acetone with ClCO2Et in the presence of Et3N and then with NaN3. The
     resulting acid azide was rearranged to give II (R5 = NCO) which was
     hydrolyzed to give II (R5 = NH2) (III). Reductive alkylation of the latter with CH2O/NaBH3CN in MeCN gave II (R5 = NMe2) (IV). Serotonin
     uptake by rat cerebral cortex synaptosome prepns. was inhibited 50% by 25
     mM IV.oxalate.
ST
     naphthalenyloxyphenylpropanamine prepn serotonin uptake inhibitor;
     antidepressant naphthalenyloxyphenylpropanamine prepn
IT
     Antidepressants
        ((naphthalenyloxy)phenylpropanamines)
IT
     119357-38-9
                   119357-40-3
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (antidepressant pharmaceutical compn. contg.)
ΙT
     325-89-3P
                119357-41-4P
                               119357-42-5P 119357-43-6P 119357-44-7P
     119357-45-8P
                    119357-46-9P
                                   119357-47-0P
                                                  119357-48-1P
                                                                  119357-49-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and reaction of, in prepn. of antidepressants)
ΙT
     119356-76-2P 119356-77-3P
                                 119356-78-4P
                                                119356-79-5P
     119356-80-8P
                    119356-81-9P
                                   119356-82-0P
                                                   119356-83-1P
                                                                  119356-84-2P
     119356-86-4P
                    119356-88-6P
                                   119356-90-0P
                                                   119356-92-2P
                                                                  119356-94-4P
     119356-96-6P 119356-98-8P 119357-00-5P
                                                   119357-02-7P
                                                                  119357-04-9P
     119357-06-1P 119357-07-2P 119357-09-4P
                                                   119357-11-8P
                                                                  119357-13-0P
     119357-15-2P 119357-17-4P 119357-18-5P
                                                   119357-19-6P
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     119357-21-0P 119357-23-2P
                                   119357-24-3P
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                                                                  119357-27-6P
     119357-29-8P
                    119357-31-2P
                                   119357-33-4P
                                                   119357-35-6P
                                                                  119357-37-8P
     119374-91-3P 119374-93-5P
                                   119374-95-7P
                                                   119374-97-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of, as serotonin uptake inhibitor)
IT
     74-89-5, Methylamine, reactions 90-15-3, 1-Naphthalenol
                                                                  103-82-2,
     Phenylacetic acid, reactions
                                   141-82-2, Malonic acid, reactions
     321-38-0, 1-Fluoronaphthalene
                                     459-57-4, 4-Fluorobenzaldehyde
                                                                       541-41-3.
                           637-59-2, (3-Bromopropyl)benzene
     Ethyl chloroformate
                                                               3570-58-9,
     2-Chloroethyl methanesulfonate
                                     10240-08-1
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction of, in prepn. of antidepressants)
IT
     50-67-9, Serotonin, uses and miscellaneous
     RL: USES (Uses)
        (uptake of, by brain, (naphthalenyloxy)phenylpropanamines inhibition
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AN 2001:249924 CAPLUS
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DN 135:190265

- TI Effect on sexual function of long-term treatment with selective serotonin reuptake inhibitors in depressed patients treated in primary care
- AU Ekselius, Lisa; von Knorring, Lars
- CS Department of Neuroscience, Psychiatry, University Hospital, Uppsala, S-751 85, Swed.
- SO Journal of Clinical Psychopharmacology (2001), 21(2), 154-160 CODEN: JCPYDR; ISSN: 0271-0749
- PB Lippincott Williams & Wilkins
- DT Journal
- LA. English
- CC 1-11 (Pharmacology)
- AB This study prospectively examd. the occurrence and severity of sexual dysfunction symptoms in depressed patients before and after 6 mo of treatment with selective serotonin reuptake inhibitors. The study was part of a randomized, double-blind, controlled trial of sertraline or citalopram in patients with a DSM-III-R major depressive disorder treated by general practitioners. Three hundred eight patients (221 women and 87 men) were assessed before and after 6 mo of treatment by means of the Montgomery-Asberg Depression Rating Scale and five items from the Utvalg for Kliniske Undersogelser (UKU) Side Effect Scale covering different aspects of sexual functioning. As measured by the UKU Side Effect Scale, sexual desire and mean total score improved in women, and sexual desire improved in men. Men reported no change in orgasmic dysfunction, erectile dysfunction, or mean total score, but there was a trend toward worsening of ejaculatory dysfunction. However, in the subgroup of women who reported no sexual problems before treatment, 11.8% reported decreased sexual desire, and 14.3% reported orgasmic dysfunction at week 24. The corresponding figures in the same subgroup of men were 16.7% and 18.9%, resp., and as many as 25% experienced ejaculatory dysfunction after 24 wk. There were no significant differences between sertraline and citalopram in the magnitude or frequency of adverse sexual side effects.
- ST antidepressant serotonin reuptake inhibitor
 sex function adverse effect; sertraline citalopram sex function adverse
 effect
- IT Antidepressants

Sex

Sexual behavior

(selective serotonin reuptake inhibitors effect on sexual function in depressed men and women)

IT 50-67-9, Serotonin, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study) (reuptake inhibitors; selective serotonin reuptake inhibitors effect on sexual function in depressed men and women)

IT 59729-33-8, Citalopram 79617-96-2, Sertraline

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(selective serotonin reuptake inhibitors effect on sexual function in depressed men and women)

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

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- (4) Casper, R; Arch Gen Psychiatry 1985, V42, P1098 MEDLINE
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- (25) Zajecka, J; Psychopharmacol Bull 1997, V33, P755 CAPLUS

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2001:730683 CAPLUS
AN
DN
     135:288572
     Preparation of diphenyl ether compounds as serotonin re-uptake inhibitors
TI
     Andrews, Mark David; Hepworth, David; Middleton, Donald Stuart; Stobie,
PA
     Pfizer Limited, UK; Pfizer Inc.
SO
     PCT Int. Appl., 158 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
     ICM C07C217-58
IC
          C07C229-38; C07C237-28; C07C255-43; C07C255-59; C07C311-05;
          C07C311-08; C07C311-37; C07C317-32; C07C323-20; C07C323-32;
          C07C323-67; C07D207-12; C07D231-38; C07D233-61; C07D249-06;
          C07D249-08; C07D295-08; C07D295-18; A61K031-137
     25-9 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
     Section cross-reference(s): 1
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO.
PΙ
     WO 2001072687
                        A1
                              20011004
                                              WO 2001-IB428
                                                                20010319
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 2002052395
                              20020502
                        Α1
                                             US 2001-810378
                                                                20010316
     US 6448293
                        В2
                              20020910
     EP 1268396
                              20030102
                        Α1
                                              EP 2001-917347
                                                                20010319
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2001009547
                        Α
                              20030610
                                              BR 2001-9547
                                                                20010319
     NZ 519972
                        Α
                              20030725
                                              NZ 2001-519972
                                                                20010319
     JP 2003528845
                        T2
                              20030930
                                              JP 2001-570602
                                                                20010319
     BG 106912
                        Α
                              20030131
                                              BG 2002-106912
                                                                20020709
     NO 2002004663
                        Α
                              20020927
                                              NO 2002-4663
                                                                20020927
PRAI GB 2000-7884
                        Α
                              20000331
     US 2000-197127P
                        Ρ
                              20000414
     WO 2001-IB428
                        W
                              20010319
OS
     MARPAT 135:288572
GΙ
                                                NMe<sub>2</sub>
                             H_2N-SO_2
           NR1R2
R<sub>5</sub>
```

SMe

II

 $(R^3)_n$

Ι

```
AΒ
     Title compds. I [wherein R1 and R2 = independently H or (cycloalkyl)alkyl;
     or R1 and R2 together with the N to which they are attached form an
     azetidine ring; R3 = independently CF3, OCF3, alkylthio, or alkoxy; n =
     1-3; R4 and R5 = independently AX; A = CH:CH or (CH2)p; p = 0-2; X = H,
     halo, OH, alkoxy, NO2, CN, CHO, alkylthio, alkylsulfinyl, alkylsulfonyl,
     or (un) substituted carbamoyl, sulfamoyl, amino, carboxy, etc.; or
     pharmaceutically acceptable salts, solvates, or polymorphs thereof] were
     prepd. as monoamine re-uptake inhibitors, particularly as selective
     serotonin re-uptake inhibitors. For example, 4-(methylmercapto)phenol was
     coupled with 2-fluorobenzaldehyde using K2CO3 in DMF to give
     2-[4-(methylsulfanyl)phenoxy]benzaldehyde (100%). The aldehyde was
     dissolved in THF, DCM, Me2NH.bul.HCl, and TEA, treated with NaBH(OAc)3,
     and converted to the salt with 1M HCl in Et2O to afford
     N, N-dimethyl-N-[2-[4-(methylsulfanyl)phenoxy]benzyl]amine.bul.HCl (84%).
     Coupling the salt with ClSO3H in CH2Cl2 at 0.degree. to 5.degree.C,
     followed by stepwise addn. of MeCN with POCl3 and ammonia, produced the
     desired sulfonamide (II) in 61% yield. The latter showed serotonin
     re-uptake inhibition (SRI) activity with IC50 .1toreq. 50 nM and was >
     100-fold as potent in the inhibition of serotonin re-uptake than in the
     the inhibition of dopamine and noradrenaline re-uptake. I are useful in
     the treatment of disorders such as depression, attention deficit
     hyperactivity disorder, obsessive-compulsive disorder, post-traumatic
     stress disorder, substance abuse disorders, and sexual dysfunction,
     including premature ejaculation (no data).
ST
     diphenyl ether prepn serotonin reuptake
     inhibitor; ether diphenyl prepn antidepressant; attention deficit
     hyperactivity disorder treatment diphenyl ether prepn; obsessive
     compulsive disorder treatment diphenyl ether prepn; posttraumatic stress
     disorder treatment diphenyl ether prepn; substance abuse treatment
     diphenyl ether prepn; sexual dysfunction treatment diphenyl ether prepn
IT
     Drugs of abuse
        (abuse of, treatment; prepn. of di-Ph ether compds. as serotonin
        re-uptake inhibitors)
ΙT
     Mental disorder
        (attention deficit hyperactivity disorder, treatment; prepn. of di-Ph
        ether compds. as serotonin re-uptake inhibitors)
IT
     Sexual behavior
        (disorder, treatment; prepn. of di-Ph ether compds. as serotonin
        re-uptake inhibitors)
ΙT
     Stress, animal
        (emotional, treatment of post-traumatic; prepn. of di-Ph ether compds.
        as serotonin re-uptake inhibitors)
IT
     Transport proteins
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (monoamine-transporting, modulator; prepn. of di-Ph ether compds. as
        serotonin re-uptake inhibitors)
ΙT
    Mental disorder
        (obsession-compulsion, treatment; prepn. of di-Ph ether compds. as
        serotonin re-uptake inhibitors)
ΙT
     Sexual behavior
        (premature ejaculation, treatment; prepn. of di-Ph ether
        compds. as serotonin re-uptake inhibitors)
IT
    Antidepressants
        (prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)
     19555-09-0P, 3-Methoxy-4-(methylsulfanyl)phenol
IT
                                                       60789-49-3P,
     1-(Methylsulfanyl)-4-nitro-2-(trifluoromethyl)benzene
                                                             63094-56-4P,
     4-(Methylsulfanyl)-3-(trifluoromethyl)aniline
                                                   78940-67-7P
     5-(Allyloxy)-1,3-benzoxathiol-2-one 127087-14-3P, 4-Methoxy-3-
     (methylsulfanyl)phenol
                            170282-24-3P, 5-(Benzyloxy)-2-sulfanylphenol
    170283-11-1P, 6-(Benzyloxy)-1,3-benzoxathiol-2-one 217186-17-9P
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                364323-60-4P
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                               364323-66-0P
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                364324-28-7P
                                               364324-30-1P
                               364324-29-8P
                                                               364324-31-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (intermediate; prepn. of di-Ph ether compds. as serotonin re-uptake
   inhibitors)
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                               364322-35-0P
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                364322-42-9P
                               364322-43-0P
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364323-32-0P
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                                                               364323-46-6P
364323-48-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
   (prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)
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364323-34-2P

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IT

IT

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     364324-34-5P
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                                                 364324-38-9P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)
IΤ
     50-67-9, Serotonin, biological studies 51-41-2, Noradrenaline
                                                                      51-61-6,
     Dopamine, biological studies
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)
ΙT
     79-06-1, Acrylamide, reactions
                                    98-17-9, 3-(Trifluoromethyl)phenol
     105-56-6
              106-41-2, 4-Bromophenol
                                        106-95-6, Allyl bromide, reactions
     109-85-3, 2-Methoxyethylamine
                                   110-91-8, Morpholine, reactions
     288-32-4, Imidazole, reactions
                                    288-36-8, 1H-1,2,3-Triazole
     2-Fluoro-5-nitrobenzotrifluoride
                                       402-45-9, 4-(Trifluoromethyl)phenol
     446-52-6, 2-Fluorobenzaldehyde
                                    598-41-4, Glycinamide
                                                             771-61-9.
    Pentafluorophenol
                        827-99-6, 3-(Trifluoromethoxy)phenol
                                                               828-27-3,
     4-(Trifluoromethoxy)phenol 1073-72-9, 4-(Methylmercapto)phenol
    1820-80-0, 3-Amino-1H-pyrazole
                                    2386-58-5, Vinylsulfonamide
                                                                   2516-47-4.
    Cyclopropylmethanamine
                             2646-90-4, 2,5-Difluorobenzaldehyde
                                                                   2749-11-3,
     (S)-2-Amino-1-propanol
                             2799-21-5
                                         4991-65-5,
    6-Hydroxy-1, 3-benzoxathiol-2-one
                                       6361-21-3, 2-Chloro-5-nitrobenzaldehyde
    7735-56-0, 5-Hydroxy-1,3-benzoxathiol-2-one 10147-37-2, 2-Propylsulfonyl
    chloride
               16114-05-9 16588-02-6, 2-Chloro-5-nitrobenzonitrile
    35320-23-1
                 36520-39-5, Azetidine hydrochloride
                                                       51517-01-2,
    2-Methoxyethylsulfonyl chloride 57848-46-1, 4-Bromo-2-fluorobenzaldehyde
    71924-62-4, 2-Fluoro-4,5-dimethoxybenzaldehyde 93777-26-5,
    5-Bromo-2-fluorobenzaldehyde 105728-90-3, 2-Fluoro-5-methoxybenzaldehyde
    112887-25-9
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (reactant; prepn. of di-Ph ether compds. as serotonin re-uptake
       inhibitors)
RE.CNT 7
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- DN 130:246107
- Effects of SSRIs on sexual function: a critical review ΤI
- Rosen, Raymond C.; Lane, Roger M.; Menza, Matthew ΑU
- Department of Psychiatry, Robert Wood Johnson Medical School, University CS of Medicine and Dentistry of New Jersey, Piscataway, NJ, 08854, USA
- Journal of Clinical Psychopharmacology (1999), 19(1), 67-85 SO CODEN: JCPYDR; ISSN: 0271-0749
- PB Lippincott Williams & Wilkins
- Journal; General Review DT
- LА English
- CC 1-0 (Pharmacology)
- A review with 255 refs. Sexual problems are highly prevalent in both men AB and women and are affected by, among other factors, mood state, interpersonal functioning, and psychotropic medications. The incidence of antidepressant-induced sexual dysfunction is difficult to est. because of the potentially confounding effects of the illness itself, social and interpersonal comorbidities, medication effects, and design and assessment problems in most studies. Ests. of sexual dysfunction vary from a small percentage to more than 80%. This article reviews current evidence regarding sexual side effects of selective serotonin reuptake inhibitors (SSRIs). Among the sexual side effects most commonly assocd. with SSRIs are delayed ejaculation and absent or delayed orgasm. Sexual desire (libido) and arousal difficulties are also frequently reported, although the specific assocn. of these disorders to SSRI use has not been consistently shown. The effects of SSRIs on sexual functioning seem strongly dose-related and may vary among the group according to serotonin and dopamine reuptake mechanisms, induction of prolactin release, anticholinergic effects, inhibition of nitric oxide synthetase, and propensity for accumulation over time. A variety of strategies have been reported in the management of SSRI-induced sexual dysfunction, including waiting for tolerance to develop, dosage redn., drug holidays, substitution of another antidepressant drug, and various augmentation strategies with 5-hydroxytryptamine-2 (5-HT2), 5-HT3, and .alpha.2 adrenergic receptor antagonists, 5-HT1A and dopamine receptor agonists, and phosphodiesterase (PDE5) enzyme inhibitors. Sexual side effects of SSRIs should not be viewed as entirely neg.; some studies have shown improved control of premature ejaculation in men. The impacts of sexual side effects of SSRIs on treatment compliance and on patients' quality of life are important clin. considerations.
- STserotonin reuptake inhibitors sexual disorder review
- ΙT Sexual behavior

(disorder; effects of SSRIs on sexual function in humans)

50-67-9, **Serotonin**, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study) (selective serotonin reuptake inhibitors; effects of SSRIs on sexual function in humans)

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- AN 1998:510509 CAPLUS
- DN 129:270469
- TI Effect of SSRI antidepressants on ejaculation: A double-blind, randomized, placebo-controlled study with fluoxetine, fluvoxamine, paroxetine, and sertraline
- AU Waldinger, Marcel D.; Hengeveld, Michiel W.; Zwinderman, Aeilko H.; Olivier, Berend
- CS Department of Psychiatry and Neurosexology, Leyenburg Hospital, The Hague, Neth.
- SO Journal of Clinical Psychopharmacology (1998), 18(4), 274-281 CODEN: JCPYDR; ISSN: 0271-0749
- PB Williams & Wilkins
- DT Journal
- LA English
- CC 1-11 (Pharmacology) AB Depression is a common cause of sexual dysfunction, but also antidepressant medication is often assocd. with sexual side effects. This article includes two related studies. The first double-blind, placebo-controlled study was conducted in men with lifelong rapid ejaculation and aimed to assess putative differences between the major selective serotonin reuptake inhibitors (SSRIs) (fluoxetine, fluvoxamine, paroxetine, and sertraline) with regard to their ejaculation-delaying effect. Sixty men with an intravaginal ejaculation latency time (IELT) of 1 min or less were randomly assigned to receive fluoxetine 20 mg/day, fluvoxamine 100 mg/day, paroxetine 20 mg/day, sertraline 50 mg/day, or placebo for 6 wk. During the 1-mo baseline and 6-wk treatment periods, the men measured their IELT at home using a stopwatch. The trial was completed by 51 men. During the 6-wk treatment period, the geometric mean IELT in the placebo group was const. at approx. 20 s. Anal. of variance revealed a between-groups difference in the evolution of IELT delay (p = 0.0004); in the paroxetine, fluoxetine, and sertraline groups there was a gradual increase to about 110 s, whereas in the fluvoxamine group, IELT was increased to only approx. 40 s. The paroxetine, fluoxetine, and sertraline groups differed significantly (p < 0.001, p < 0.001, p = 0.017, resp.) from placebo but the fluvoxamine group did not (p = 0.38). Compared with baseline, paroxetine exerted the strongest delay in ejaculation, followed by fluoxetine and sertraline. There was no clin. relevant delay in ejaculation with fluvoxamine. In men with lifelong rapid ejaculation, paroxetine delayed ejaculation most strongly, whereas fluvoxamine delayed ejaculation the least. The second double-blind, placebo-controlled study was carried out in men with lifelong rapid ejaculation (IELT .ltoreq. 1 min) and in men with lifelong less-rapid ejaculation (IELT > 1 min) to investigate whether data about SSRI-induced delayed ejaculation in men with rapid ejaculation may be extrapolated to men with less-rapid ejaculation. After measurement of IELT at home (using a stopwatch) during a 1-mo baseline assessment, 32 men with an IELT of 1 min or less (group 1) or more than 1 min (group 2) were randomly assigned to receive paroxetine 20 mg/day or placebo for 6 wk in a double-blind manner. Patients continued to measure their IELTs at home during the 6 wk of the study. At baseline, 24 patients consistently had IELTs of one minute or less (group 1), and eight patients had IELTs of more than 1 min (group 2). The geometric mean IELT was 14 s in group 1 and 83 s in group 2. Twelve patients in group 1 and five in group 2 were randomized to the paroxetine 20 mg/day. The percentage increase in the geometric mean IELT compared with baseline in patients treated with paroxetine was 420% (95% confidence interval [CI], 216-758%) in group 1 and 480% (95% CI, 177-1,118%) in group 2 (p = 0.81). After 6 wk of treatment with paroxetine, the geometric mean IELT was 92 s in group 1 and 602 s in group 2. Therefore, the paroxetine-induced percentage increase in IELT seems to be independent of the baseline IELT. This suggests that

ejaculation-delaying side effects of some SSRIs investigated in men with lifelong rapid ejaculation may be generalized to men with less-rapid ejaculation.

STSSRI antidepressant ejaculation fluoxetine fluvoxamine paroxetine; sertraline SSRI antidepressant ejaculation fluoxetine fluvoxamine

ΙT Sexual behavior

> (ejaculation; vSSRIs antidepressants fluoxetine, fluvoxamine paroxetine, and sertraline effects on ejaculation latency in men)

IT Sexual behavior

> (premature ejaculation; SSRIs antidepressants fluoxetine, fluvoxamine paroxetine, and sertraline effects on ejaculation latency in men)

ΙT Antidepressants

> (selective serotonin reuptake inhibitors; SSRIs antidepressants fluoxetine, fluvoxamine paroxetine, and sertraline effects on ejaculation latency in men)

ΙT 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 61869-08-7, Paroxetine 79617-96-2, Sertraline

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SSRIs antidepressants fluoxetine, fluvoxamine paroxetine, and sertraline effects on ejaculation latency in men)

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1998:264650 CAPLUS
ΑN
DN
     129:103665
TI
     Premature ejaculation and serotonergic antidepressants-induced
     delayed ejaculation. The involvement of the serotonergic system
ΑU
     Waldingeri, Marcel D.; Berendsen, Hemmie H. G.; Blok, Bertil F. M.;
     Olivier, Berend; Holstege, Gert
CS
     Department of Psychiatry and Neurosexology, Leyenburg Hospital, Leyweg
     275, The Hague, 2545 CH, Neth.
     Behavioural Brain Research (1998), 92(2), 111-118
SO
     CODEN: BBREDI; ISSN: 0166-4328
PB
     Elsevier Science B.V.
     Journal; General Review
DΤ
LΑ
     English
CC
     1-0 (Pharmacology)
     Section cross-reference(s): 14
     A review with 58 refs. Premature ejaculation has generally been
AB
     considered a psychosexual disorder with psychogenic etiol. Although still
     mainly treated by behavioral therapy, in recent years double-blind studies
     have indicated the beneficial effects of some of the serotonergic
     antidepressants (SSRIs) in delaying ejaculation. We describe
     here the neurophysiol. and the peripheral neuroanatomy of
     ejaculation and provide a review of the involvement of
     serotonin in the central nervous system in relation to
     serotonergic nuclei and their projections. A hypothesis of the role of
     5-HT1A and 5-HT2C receptors in premature ejaculation is
ST
     review antidepressant premature ejaculation serotoninergic
     system
IT
     5-HT receptors
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (5-HT1A; serotonergic system in antidepressants-induced delayed
        ejaculation)
IT
     5-HT receptors
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (5-HT2C; serotonergic system in antidepressants-induced delayed
        ejaculation)
ΙT
     Sexual behavior
     Sexual behavior
        (premature ejaculation; serotonergic system in
        antidepressants-induced delayed ejaculation)
IT
    Antidepressants
        (serotonergic system in antidepressants-induced delayed
       ejaculation)
IT
    Nerve
        (serotoninergic; serotonergic system in antidepressants-induced delayed
        ejaculation)
IT
    50-67-9, Serotonin, biological studies
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (serotonergic system in antidepressants-induced delayed
        ejaculation)
RE.CNT
       58
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ΑN
     1997:672097 CAPLUS
DN
     127:326407
     The treatment of comorbid premature ejaculation and panic
ΤI
     disorder with fluoxetine
ΑU
     Kindler, S.; Dolberg, O.T.; Cohen, H.; Hirschmann, S.; Kotler, M.
     Anxiety Clinic, Psychiatric Division, Sheba Medical Center, Ranmat-Gan,
CS
     and Sackler School of Medicine, Tel Aviv University, Tel-Aviv, 52621,
     Israel
SO
     Clinical Neuropharmacology (1997), 20(5), 466-471
     CODEN: CLNEDB; ISSN: 0362-5664
PB
     Lippincott-Raven
DT
     Journal
LΑ
     English
     1-11 (Pharmacology)
CC
AΒ
     Premature ejaculation is a common sexual disturbance among men.
     Both open-label and double-blind studies have demonstrated the
     effectiveness of serotonergic medications for this disorder. These
     studies support the hypothesis that the serotonergic system has an
     important role in the modulation of sexual response, esp. attainment of
     orgasm. Serotonergic dysfunction also has been linked to the pathogenesis
     of panic disorder. Several studies have demonstrated the efficacy of
     serotonergic drugs in this disorder. The purpose of the present study was
     to examine the efficacy of fluoxetine, a serotonin selective
     reuptake inhibitor for the treatment of comorbid premature
     ejaculation and panic disorder, in 10 men in an open-label design.
     The patients were given 20 mg of fluoxetine for 8 wk of the study.
     Parameters pertaining to sexual function and measures of anxiety were
     examd. Improvement of premature ejaculation was noted as of
    week 2 of the study, whereas measures of panic and sexual satisfaction
    became statistically significant only as of week 4. Further studies with
     larger samples and longer periods of follow-up are needed in order to det.
    the usefulness of fluoxetine for the treatment of comorbid premature
    ejaculation and panic disorder.
ST
    fluoxetine premature ejaculation panic disorder antipsychotic
IT
    Anxiety
        (panic disorder; treatment of comorbid premature ejaculation
       and panic disorder with fluoxetine in humans)
ΙT
    Sexual behavior
    Sexual behavior
        (premature ejaculation; treatment of comorbid premature
       ejaculation and panic disorder with fluoxetine in humans)
IT
    Antipsychotics
        (treatment of comorbid premature ejaculation and panic
       disorder with fluoxetine in humans)
```

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(treatment of comorbid premature ejaculation and panic

disorder with fluoxetine in humans)

IT

54910-89-3, Fluoxetine

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AN
     1996:657688 CAPLUS
DN
     125:317071
TI
     The efficacy of fluoxetine in the treatment of premature
     ejaculation: A double-blind placebo controlled study
ΑU
     Kara, Hayrettin; Aydin, Sabahattin; Agargun, M. Yucel; Odabas, Oner;
     Yilmaz, Yuksel
     Medical School Yuzuncu, Yil University, Van, Turk.
CS
SO
     Journal of Urology (Baltimore) (1996), 156(5), 1631-1632
     CODEN: JOURAA; ISSN: 0022-5347
PB
     Williams & Wilkins
DT
     Journal
LА
     English
CC
     1-11 (Pharmacology)
     The efficacy of the selective serotonin re-uptake inhibitor
AB
     fluoxetine in the treatment of premature ejaculation was examd.
     The study comprized 17 patients with premature ejaculation who
     presented to the urol. clinic of the authors' medical school. In this
     double-blind study the patients were randomized into treatment groups
     receiving 20 mg. fluoxetine daily for 1 wk and 40 mg. daily afterward
     (group (1)) or 1 capsule placebo daily for 1 wk and 2 capsules daily
     afterward (group (2)). The groups were evaluated according to the latent
     period of intravaginal ejaculation. The latent period of
     intravaginal ejaculation in group 1 was significantly longer
     than that in group 2. Nausea, headache and insomnia were reported side
     effects. Fluoxetine may be regarded as a safe and effective alternative
     in the treatment of premature ejaculation.
ST
     fluoxetine premature ejaculation
IT
     Sexual behavior
        (disorder, premature ejaculation, efficacy of fluoxetine in
        treatment of premature ejaculation dealing with a
        double-blind placebo controlled study in humans)
     54910-89-3, Fluoxetine
IT
     RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
     effector, except adverse); BSU (Biological study, unclassified); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
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(efficacy of fluoxetine in treatment of premature ejaculation dealing with a double-blind placebo controlled study in humans)

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AN 1996:614257 CAPLUS
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- DN 125:264724
- TI Use of psychoactive agents in the treatment of sexual dysfunction
- AU Waldinger, Marcel D.
- CS Department Psychiatry and Neurosexology, Leyenburg Hospital, The Hague, Neth.
- SO CNS Drugs (1996), 6(3), 204-216 CODEN: CNDREF; ISSN: 1172-7047
- PB Adis
- DT Journal; General Review
- LA English
- CC 1-0 (Pharmacology)
- A review with 86 refs. Sexual function can be subdivided into phases of AB sexual desire, penile erection, ejaculation and orgasm. Dysfunction of these processes is manifest as disorders that include hypoactive sexual desire, male erectile dysfunction, premature and retarded ejaculation, and anorgasmia. These disorders can be primary in etiol. or can be caused by a no. of psychoactive drugs including, commonly, antidepressants. At present, sexual dysfunction is rarely treated with pharmacol. agents. The usual approach consists of psychotherapy. However, in recent years, more interest has arisen in treating disorders of sexual function with psychopharmacol. drugs, particularly sexual dysfunction that is the adverse effect of antidepressants. Clin. reports suggest that primary premature ejaculation can be successfully treated with clomipramine and selective **serotonin** (5-hydroxytryptamine; 5-HT) reuptake inhibitors. At present, only a few oral medications have been shown to be useful in the treatment of erectile dysfunction (including yohimbine and trazodone), although these have not been developed specifically for this indication. The pharmacol. treatment of primary retarded ejaculation and female primary anorgasmia still offers no efficacy. There are, on the other hand,.
- ST psychotropic sexual dysfunction review
- IT Psychotropics

(use of psychoactive agents in the treatment of sexual dysfunction in humans)

IT Sexual behavior

(disorder, use of psychoactive agents in the treatment of sexual dysfunction in humans)

ΑN 1997:702829 CAPLUS DN 127:341724 ΤI Fluvoxamine maleate in the treatment of depression: a single-center, double-blind, placebo-controlled comparison with imipramine in outpatients Claghorn, James L.; Earl, Craig Q.; Walczak, Donna D.; Stoner, Kim A.; ΑU Wong, Lung Fai; Kanter, Donald; Houser, Vincent P. Clin. Res. Assocs., Houston, TX, USA CS Journal of Clinical Psychopharmacology (1996), 16(2), 113-120 SO CODEN: JCPYDR; ISSN: 0271-0749 PB Williams & Wilkins DTJournal English LΑ CC 1-11 (Pharmacology) The efficacy and safety of fluvoxamine maleate, a selective AB serotonin reuptake inhibitor, was compared with placebo and imipramine in patients with major depression disorder. Previous literature has cited a dose range of 100 to 300 mg/day of fluvoxamine maleate for the treatment of major depression; however, this study demonstrates that a dose range of 50 to 150 mg/day is as effective as imipramine (80-240 mg/day). After a 1- to 2-wk, single-blind, placebo washout phase, 150 depressed outpatients were randomized to double-blind treatment with fluvoxamine maleate (50-150 mg/day), imipramine (80-240 mg/day), or placebo for 6 wk. Flovoxamine produced a significant therapeutic benefit over placebo (p .ltoreq. 0.05) as assessed by the total score on the Hamilton Rating Scale for Depression; imipramine (80-240 mg/day) produced similar results. The secondary outcome variables (i.e., Clin. Global Impression severity of illness item of 56-Item Hopkins Symptom Checklist depression factor) also showed significant differences between fluvoxamine maleate and placebo during three of the four final weeks of the study. Both fluvoxamine maleate and imipramine appeared to be safe and well tolerated by the majority of patients. As expected from the pharmacol. of these agents, the imipramine groups reported more anticholinergic effects (dry mouth, dizziness, and urinary retention) and electrocardiog. effects, whereas the fluvoxamine group reported more nausea, somnolence, and abnormal ejaculation. The majority of these adverse events were mild to moderate and, with the exception of dry mouth (imipramine) and abnormal ejaculation (fluvoxamine), were transient. The data clearly demonstrate the antidepressant activity and tolerability of fluvoxamine maleate (50-150 mg/day) was compared with placebo; it is also as effective as the tricyclic antidepressant imipramine (80-240 mg/day) in patients with major depressive disorder. fluvoxamine imipramine antidepressant ST IT Antidepressants (selective serotonin reuptake inhibitors; Comparison of fluvoxamine and imipramine in treatment of depression in humans) IT 54739-18-3, Fluvoxamine RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Comparison of fluvoxamine and imipramine in treatment of depression in humans)

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RN
     129938-20-1 REGISTRY
CN
     Benzenemethanamine, N,N-dimethyl-.alpha.-[2-(1-naphthalenyloxy)ethyl]-,
     hydrochloride, (.alpha.S) - (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
     Benzenemethanamine, N, N-dimethyl-.alpha.-[2-(1-naphthalenyloxy)ethyl]-,
     hydrochloride, (S)-
OTHER NAMES:
CN
     Dapoxetine hydrochloride
CN
     LY 210448 hydrochloride
FS
     STEREOSEARCH
MF
     C21 H23 N O . Cl H
SR
     US Adopted Names Council
LC
     STN Files:
                  CA, CAPLUS, IPA, SYNTHLINE, USAN, USPATFULL
     Other Sources:
CRN
     (119356-77-3)
Absolute stereochemistry.
      Ph
          HCl
               3 REFERENCES IN FILE CA (1907 TO DATE)
               3 REFERENCES IN FILE CAPLUS (1907 TO DATE)
     ANSWER 2 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN
L1
RN
     119356-77-3 REGISTRY
CN
     Benzenemethanamine, N,N-dimethyl-.alpha.-[2-(1-naphthalenyloxy)ethyl]-,
     (.alpha.S) - (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN
     Benzenemethanamine, N,N-dimethyl-.alpha.-[2-(1-naphthalenyloxy)ethyl]-,
     (S) -
OTHER NAMES:
CN
     Dapoxetine
CN
     LY 210448
FS
     STEREOSEARCH
MF
     C21 H23 N O
CI
     COM
SR
     CA
LC
     STN Files:
                  ADISINSIGHT, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CBNB,
       CIN, DDFU, DRUGNL, DRUGU, DRUGUPDATES, EMBASE, MEDLINE, PHAR, PROMT,
       RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
         (*File contains numerically searchable property data)
```

Absolute stereochemistry.

×5...

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 12 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 12 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:79863 CAPLUS

DN 126:180636

TI Tolerability and safety of citalopram

AU Baldwin, David; Johnson, F. Neil

CS Royal South Hants Hospital, University Department of Psychiatry, Southampton, SO14 OYG, UK

SO Reviews in Contemporary Pharmacotherapy (1995), 6(6), 315-325 CODEN: RCPHFW; ISSN: 0954-8602

PB Marius Press

DT Journal; General Review

LA English

CC 1-0 (Pharmacology)

AΒ A review with .apprx.45 refs. The selective serotonin reuptake inhibitor citalopram has proven efficacy in the treatment of acute episodes of depression, and in continuation treatment following symptomatic resoln. The tolerability profile of citalogram is markedly different from that seen with older tricyclic antidepressant drugs, and is similar to that of the other SSRIs. Adverse events which occur more frequently with citalogram than with placebo in controlled trials are nausea, dry mouth, somnolence, increased sweating, tremor, diarrhea, and ejaculation failure. When compared with a range of tricyclic and related drugs in controlled trials, citalopram showed more nausea and ejaculation failure events than the comparator drugs, but on ten other categories of adverse event the tricyclics and related drugs were significantly worse than citalopram. The tolerability profile among elderly patients was broadly similar to that seen amongst younger patients. When compared with established drugs citalogram may have certain advantages in the treatment of elderly patients if the daily dosage is adjusted appropriately. Citalogram was, on the evidence currently available, well tolerated in chronic use. It appears to be relatively safe in overdose when taken alone, and may be esp. useful in depressed patients with suicidal thoughts or a history of suicidal behavior.

ST review citalogram antidepressant

IT Antidepressants

=>

(tolerability and safety of citalogram in humans)

IT 59729-33-8, Citalopram

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tolerability and safety of citalopram in humans)